STN - STR - Search 9-13-04

10/631847

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L4 ANSWER 1 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:550957 CAPLUS
DOCUMENT NUMBER: 141:106464
TITLE: Preparation of pyrazolo[3,4-b]pyridine derivatives for use in pharmaceutical compositions as phosphodiesterase inhibitors
INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Cooper, Anthony William James; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056823	A1	20040708	WO 2003-EP14867	20031219

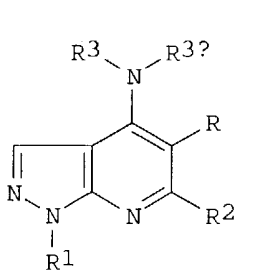
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

GB 2002-30045	A	20021223
GB 2002-30165	A	20021224
GB 2003-7998	A	20030407

GI



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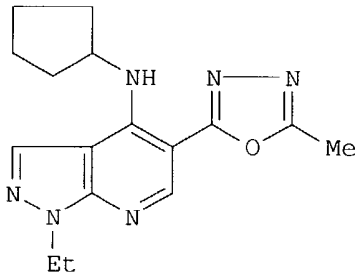
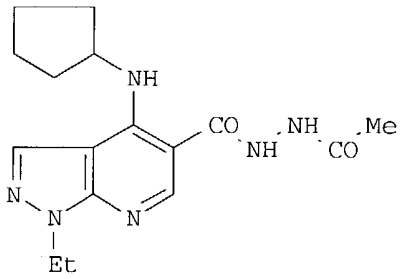


II



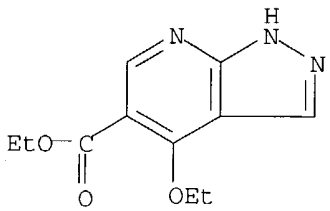
III

AB Pyrazolo[3,4-b]pyridine derivs., such as I [R = heterocyclyl; R1 = (CH2)2OH, alkyl, fluoroalkyl; R2 = H, Me, fluoroalkyl; R3 = alkyl, (un)substituted-Ph, cycloalkyl, heterocyclyl, etc.; R3a = H, alkyl], were prepared for therapeutic uses as inhibitors of phosphodiesterase, particularly phosphodiesterase IV (PDE4). These pyrazolo[3,4-b]pyridines were claimed for use in the treatment and/or prophylaxis of cognitive impairment and inflammatory and/or allergic diseases, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. Thus, pyrazolo[3,4-b]pyridine derivative II was prepared via a cyclocondensation reaction of hydrazide III using POCl3 in MeCN. The prepared pyrazolo[3,4-b]pyridine were assayed for PDE4 inhibitory activity, and systems for delivery of these PDE4 inhibitors were discussed.

IT **41094-93-3P**, Ethyl 4-ethoxy-1H-pyrazolo[3,4-b]pyridine-5-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolo[3,4-b]pyridine derivs. for use in pharmaceutical compns. as phosphodiesterase inhibitors)

RN 41094-93-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:534203 CAPLUS
DOCUMENT NUMBER: 141:89018

10/631847

TITLE: Preparation of aminocyanopyridines as inhibitors of mitogen activated protein kinase-activated protein kinase-2 for treating TNFα mediated diseases

INVENTOR(S): Anderson, David R.; Stehle, Nathan W.; Kolodziej, Stephen A.; Reinhard, Emily J.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA; Lee, Len F.

SOURCE: PCT Int. Appl., 227 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055015	A1	20040701	WO 2003-US38980	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004142978	A1	20040722	US 2003-729139	20031205
PRIORITY APPLN. INFO.:			US 2002-432843P P	20021212

OTHER SOURCE(S): MARPAT 141:89018

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

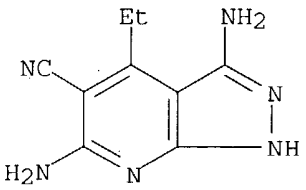
AB Ttle compds. I [wherein R1 = H, alk(en/yn)yl, carboxyalkyl, NH2, OH, aryl, alkylcarbonyl, etc.; R2 = H, alk(en/yn)yl, NH2, alkylamino, OH and derivs., halo, alkylthio, carbamyl, (un)substituted hetero/aryl, etc.; R3 = H, alk(en/yn)yl, CN, NH2, aminoalkyl, (un)substituted aryl; when R2 = heteroaryl, R3 is not CN; or R2CCR3 = 1,2-phenylelne, 3,4-disubstituted 1-methylpiperidine, etc.; R4 = H, alk(en/yn)yl, OH and derivs., alkylthio, alkoxycarbonyl, SH, isoalkyl, hetero/aryl; or R3CCR4 = heterocycle, fused ring, or bicycle, etc.; R5 = H, alkyl, provided that at least one of the R1, R2, R3, R4 and R5 is other than H; or R1NR5 = piperidinyl or oxazinyl; their pharmaceutically acceptable salts, tautomers or isomers] were prepared as mitogen activated protein kinase-activated protein kinase-2 inhibitors. For example, II was prepared by cyclocondensation of malononitrile with ethyl-4-formylpyrrole-2-carboxylate and 2-acetylfuran in toluene in the presence of NH4OAc, hydrolysis of the ester, and treatment with ammonia. Selected I inhibited MK-2 with an IC50 < 200 μM in an in vitro cell assay. Preffered I displayed a TNFα release IC50 values < 5 μM in an in vitro cell assay. Selected MK-2 inhibitors I exhibited above 80% inhibition of TNFα production in a rat LPS assay. Thus, I are useful for treating TNFα mediated diseases.

IT **184530-74-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MK-2 inhibitor; preparation of aminocyanopyridines as MK-2 kinase inhibitors)

RN 184530-74-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3,6-diamino-4-ethyl- (9CI) (CA INDEX NAME)

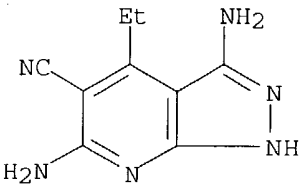


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:533978 CAPLUS
DOCUMENT NUMBER: 141:89015
TITLE: Preparation of aminocyanopyridines, in particular tricyclic derivatives, as inhibitors of mitogen activated protein kinase-activated protein kinase-2 for treating TNFα mediated diseases
INVENTOR(S): Reinhard, Emily J.; Kolodziej, Stephen A.; Anderson, David R.; Stehle, Nathan W.; Vernier, William F.; Lee, Len F.; Hegde, Shridhar G.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 188 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004127519	A1	20040701	US 2003-728460		20031205
US 2004127511	A1	20040701	US 2003-729598		20031205
PRIORITY APPLN. INFO.:			US 2002-432783P	P	20021212
			US 2002-432807P	P	20021212
			US 2002-432843P	P	20021212
			US 2002-432844P	P	20021212

OTHER SOURCE(S): MARPAT 141:89015
GI



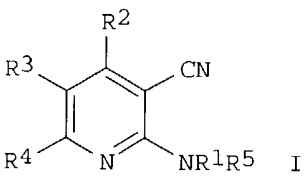
L4 ANSWER 4 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:531303 CAPLUS
DOCUMENT NUMBER: 141:89013
TITLE: Preparation of aminocyanopyridines, in particular tricyclic derivatives, as inhibitors of mitogen activated protein kinase-activated protein kinase-2 for treating TNFα mediated diseases
INVENTOR(S): Reinhard, Emily J.; Kolodziej, Stephen A.; Anderson, David R.; Stehle, Nathan W.; Vernier, William F.; Lee, Len F.; Hegde, Shridhar G.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 303 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054505	A2	20040701	WO 2003-US39166	20031209

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-432807P P 20021212
OTHER SOURCE(S): MARPAT 141:89013
GI



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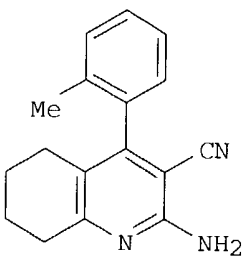


II

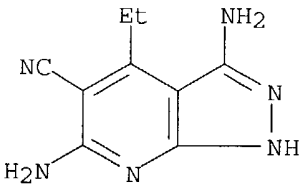
AB Title compds. I [wherein R1 = H, alk(en/yn)yl, carboxyalkyl, arylalkyl, NH2, alkoxy, alkylcarbonyl, etc.; R2 = H, alk(en/yn)yl, NH2, CO2H and

derivs., OH and derivs., alkylcarbonyl, halo, carbamyl, alkylthio, (un)substituted mono- and bicyclic cycloalkyl, aryl, heterocyclyl, etc.; R3 = H, alk(en/yn)yl, CN, NH2, aminoalkyl, (un)substituted aryl; or R2, R3 = fused ring system with indoline, 1-methylpiperidine, cyclohexane; R4 = H, alk(en/yn)yl, OH and derivs., alkylthio, N-imidazoylphenyl, (un)substituted hetero/aryl, 1,3-benzodioxol-5-yl, etc; or R3, R4 = fused ring system with (un)substituted tetraline, benzene, furan, etc; R5 = H, alkyl; or R1NR5 = piperidinyl, oxazinyl; their pharmaceutically acceptable salts, tautomers or isomers] were prepared as mitogen activated protein kinase-activated protein kinase-2 inhibitors. For example, II was prepared from 5-nitro-2-thiosalicylaldehyde (preparation given) and 2-amino-1-propene-1,1,3-tricarbonitrile via cyclocondensation. Selected I inhibited MK-2 with an IC50 < 200 μM in an in vitro cell assay. Preffered I displayed a TNFα release IC50 values < 1 μM in an in vitro cell assay. Selected MK-2 inhibitors I exhibited above 80% inhibition of TNFα production in a rat LPS assay. MK2 knock-out mice are resistant to the formation of K/BN serum-induced arthritis. Thus, I are useful for treating TNFα mediated diseases, in particular inflammations such as arthritis.

IT **184530-74-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MK-2 inhibitor; preparation of aminocyanopyridines as MK-2 kinase inhibitors)

RN 184530-74-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3,6-diamino-4-ethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:252512 CAPLUS

DOCUMENT NUMBER: 140:287376

TITLE: Preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase inhibitors for treatment of COPD, asthma, or allergic rhinitis

INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Knowles, Richard Graham; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith; Trivedi, Naimisha; Ward, Peter

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

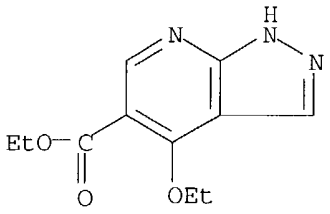
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

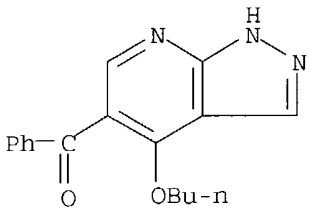
inflammatory and/or allergic disease)
RN 41094-93-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



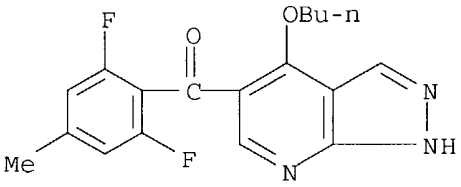
L4 ANSWER 6 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:182368 CAPLUS
DOCUMENT NUMBER: 140:229401
TITLE: Three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands
INVENTOR(S): Come, Jon H.; Becker, Frank; Kley, Nikolai A.; Reichel, Christoph
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004043388	A1	20040304	US 2002-234985		20020903
US 2003165873	A1	20030904	US 2002-91177		20020304
PRIORITY APPLN. INFO.:			US 2001-272932P	P	20010302
			US 2001-278233P	P	20010323
			US 2001-329437P	P	20011015
			US 2002-91177	A2	20020304

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene gycol moiety to dexamethasone, is described.
IT **60868-76-0D**, conjugates **582315-72-8D**, conjugates
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)
RN 60868-76-0 CAPLUS
CN Methanone, (4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)phenyl- (9CI) (CA INDEX NAME)

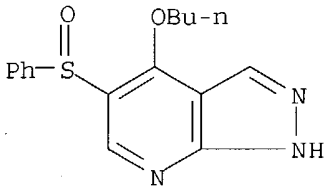


RN 582315-72-8 CAPLUS
CN Methanone, (4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)(2,6-difluoro-4-methylphenyl)- (9CI) (CA INDEX NAME)

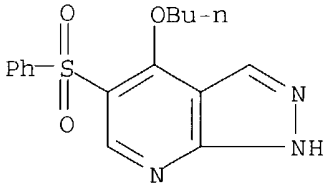


L4 ANSWER 7 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:485900 CAPLUS
DOCUMENT NUMBER: 139:190642
TITLE: 1H-Pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases: highly potent 2,6-Difluorophenacyl analogues
AUTHOR(S): Misra, Raj N.; Xiao, Hai-Yun; Rawlins, David B.; Shan, Weifang; Kellar, Kristen A.; Mulheron, Janet G.; Sack, John S.; Tokarski, John S.; Kimball, S. David; Webster, Kevin R.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(14), 2405-2408
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:190642
AB Structure-activity studies of 1H-pyrazolo[3,4-b]pyridine have resulted in the discovery of potent CDK1/CDK2 selective inhibitor, BMS-265246 (CDK1/cycB IC50=6 nM, CDK2/cycE IC50=9 nM). The 2,6-difluorophenyl substitution was critical for potent inhibitory activity. A solid state structure of pyrazolopyridine, a close di-fluoro analog, bound to CDK2 shows the inhibitor resides coincident with the ATP purine binding site and forms important H-bonds with Leu83 on the protein backbone.
IT **582315-57-9P 582315-58-0P 582315-59-1P 582315-60-4P 582315-61-5P 582315-62-6P 582315-63-7P 582315-64-8P 582315-65-9P 582315-66-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of difluorophenacyl analogs as inhibitors of cyclin-dependent kinases)
RN 582315-57-9 CAPLUS
CN Ethanone, 1-(4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)- (9CI) (CA INDEX

CN 1H-Pyrazolo[3,4-b]pyridine, 4-butoxy-5-(phenylsulfinyl)- (9CI) (CA INDEX NAME)



RN 370865-98-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine, 4-butoxy-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



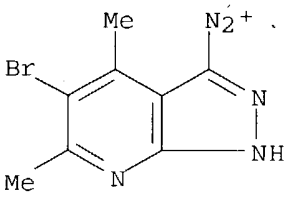
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:329531 CAPLUS
DOCUMENT NUMBER: 139:86667
TITLE: Quantitative gas-solid diazotization of 3-aminopyrazolo[3,4-b]pyridine derivatives and azo dye syntheses by means of solid-solid reactions
AUTHOR(S): Kaupp, Gerd; Metwally, Mohamed Abbas; Amer, Fathy A.; Abdel-Latif, Ehab
CORPORATE SOURCE: Univ. of Oldenburg, Oldenburg, Germany
SOURCE: European Journal of Organic Chemistry (2003), (8), 1545-1551
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:86667
AB Gas-solid and solid-solid techniques allow for waste-free quant. syntheses and coupling reactions of heterocyclic diazonium nitrate dihydrates. The solid-state diazotization of 4,6-dimethyl-1H-pyrazolo[3,4-b]triazine-3-amine with gaseous NO2 has been mechanistically investigated by atomic force microscopy. Azo couplings are achieved in quant. yields by cautious co-grinding of the solid diazonium salt produced with five (thio)barbituric acids, six acetoacetanilides (these couplings are followed by internal cyclization in solid-state cascades), β-naphthol, and 2,6-dimethylphenol. The solid diazonium salt is quant. coupled with three solid anilines to give tautomeric triazenes. The structures of the products were established with IR, NMR, and mass spectroscopy, while the tautomeric properties of the compds. were judged by d. functional calcns. at the B3LYP/6-31G* and BLYP/6-31G** levels.
IT **554435-13-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(gas-solid diazotization of aminopyrazolopyridines and azo dye preparation

by solid-solid reactions)
RN 554435-13-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-3-diazonium, 5-bromo-4,6-dimethyl-, nitrate (9CI) (CA INDEX NAME)

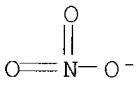
CM 1

CRN 554435-12-0
CMF C8 H7 Br N5

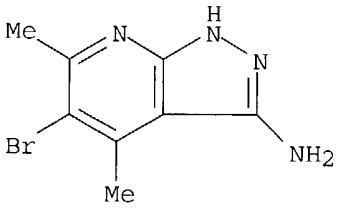


CM 2

CRN 14797-55-8
CMF N O3



IT **42951-65-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; gas-solid diazotization of aminopyrazolopyridines and azo dye preparation by solid-solid reactions)
RN 42951-65-5 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 5-bromo-4,6-dimethyl- (9CI) (CA INDEX NAME)



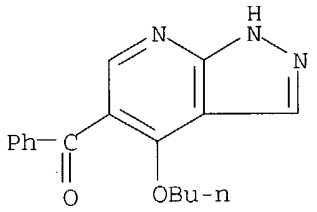
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:215728 CAPLUS
DOCUMENT NUMBER: 139:94768
TITLE: 1H-Pyrazolo[3,4-b]pyridine Inhibitors of Cyclin-Dependent Kinases
AUTHOR(S): Misra, Raj N.; Rawlins, David B.; Xiao, Hai-yun; Shan, Weifang; Bursuker, Isia; Kellar, Kristin A.; Mulheron, Janet G.; Sack, John S.; Tokarski, John S.; Kimball, S. David; Webster, Kevin R.

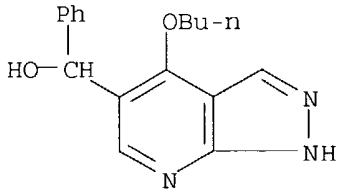
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(6), 1133-1136
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:94768

AB 1H-Pyrazolo[3,4-b]pyridine (I) (SQ-67563) has been shown to be a potent, selective inhibitor of CDK1/CDK2 in vitro. In cells I acts as a cytotoxic agent with the ability to block cell cycle progression and/or induce apoptosis. The solid state structure of I bound to CDK2 shows I resides coincident with the ATP purine binding site and forms important H-bonding interactions with Leu83 on the protein backbone. A series of CDK inhibitors were synthesized based on the structure of I and preliminary structure activity relationship data gathered.

IT **60868-76-0**, SQ 67563
RL: CPS (Chemical process); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(preparation of 1H-pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases)
RN 60868-76-0 CAPLUS
CN Methanone, (4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)phenyl- (9CI) (CA INDEX NAME)

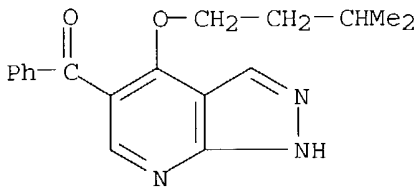


IT **557090-81-0P**
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1H-pyrazolo[3,4-b]pyridine inhibitors of cyclin-dependent kinases)
RN 557090-81-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-methanol, 4-butoxy-α-phenyl- (9CI) (CA INDEX NAME)



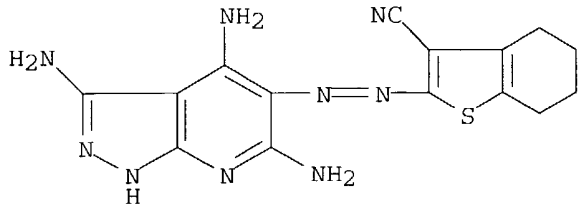
IT **227617-10-9P 227617-11-0P 557090-82-1P**
557090-83-2P 557090-84-3P 557090-85-4P
557090-86-5P 557090-87-6P 557090-88-7P
557090-89-8P 557090-90-1P 557090-91-2P

RN 557090-78-5 CAPLUS
CN Methanone, [4-(3-methylbutoxy)-1H-pyrazolo[3,4-b]pyridin-5-yl]phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:266485 CAPLUS
DOCUMENT NUMBER: 137:169474
TITLE: Uses of 2-diazo-4,5,6,7-tetrahydrobenzo[b]thiophene derivatives in the synthesis of azoles, azines, and their fused derivatives
AUTHOR(S): Wardakhan, Wagnat W.; Fleita, Daisy H.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SOURCE: Heteroatom Chemistry (2002), 13(2), 108-115
CODEN: HETCE8; ISSN: 1042-7163
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:169474
AB The reactions of 2-diazo-4,5,6,7-tetrahydrobenzo[b]thiophene derivs. with RCH2C(NH2):CRCN [R = CO2Et, CN] gave the hydrazone derivs. The reactivity of the latter products towards various chemical reagents was studied in order to provide azole and azine derivs. incorporating the thiophene ring, and most of them showed high antimicrobial activity.
IT **446253-91-4P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of bactericidal azoles, azines, and their fused derivs. from 2-diazo-4,5,6,7-tetrahydrobenzo[b]thiophene derivs.)
RN 446253-91-4 CAPLUS
CN Benzo[b]thiophene-3-carbonitrile, 4,5,6,7-tetrahydro-2-[(3,4,6-triamino-1H-pyrazolo[3,4-b]pyridin-5-yl)azo]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

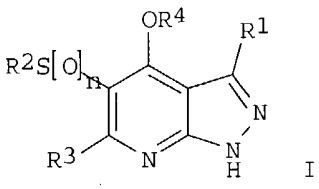
L4 ANSWER 11 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:798226 CAPLUS
DOCUMENT NUMBER: 135:344478

10/631847

TITLE: Preparation of 5-thio-, sulfinyl- and sulfonylpyrazolo[3,4-b]pyridines as cyclin-dependent kinase inhibitors
INVENTOR(S): Misra, Raj N.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081348	A1	20011101	WO 2001-US12327	20010413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1278749	A1	20030129	EP 2001-927052	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004507455	T2	20040311	JP 2001-578438	20010413
US 2002002178	A1	20020103	US 2001-841668	20010424
US 6448264	B2	20020910		
PRIORITY APPLN. INFO.:			US 2000-199475P P	20000425
			WO 2001-US12327 W	20010413

OTHER SOURCE(S): MARPAT 135:344478
GI

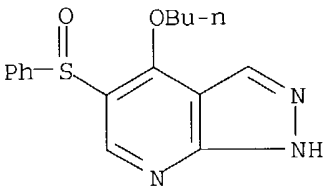


I

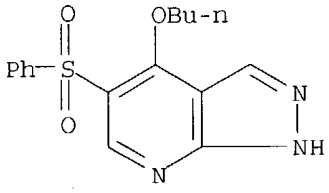
AB The title compds. [I; R1 = H, alkyl, Cl; R2, R4 = alkyl, aryl, arylalkyl, etc.; R3 = H, alkyl; n = 0-2], which are protein kinase inhibitors (no data) and are useful in the treatment of proliferative diseases, for example, cancer, inflammation and arthritis, were prepared E.g., a multi-step synthesis of I [R1 = H; R2 = Ph; R3 = H; R4 = Bu; n = 1] was given. The compds. I may also be useful in the treatment of Alzheimer's disease and cardiovascular disease.

IT **370865-97-7P 370865-98-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-thio-, sulfinyl- and sulfonylpyrazolo[3,4-b]pyridines as cyclin-dependent kinase inhibitors)
RN 370865-97-7 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine, 4-butoxy-5-(phenylsulfinyl)- (9CI) (CA INDEX

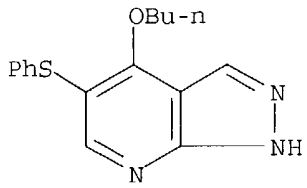
NAME)



RN 370865-98-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine, 4-butoxy-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



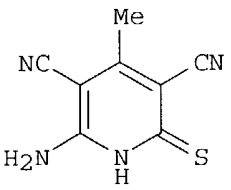
IT **370866-05-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-thio-, sulfinyl- and sulfonylpyrazolo[3,4-b]pyridines as cyclin-dependent kinase inhibitors)
RN 370866-05-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine, 4-butoxy-5-(phenylthio)- (9CI) (CA INDEX NAME)

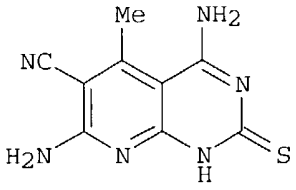


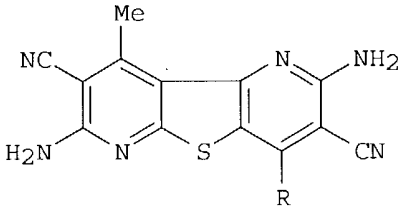
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:340057 CAPLUS
DOCUMENT NUMBER: 136:85768
TITLE: Pentasubstituted pyridines as intermediates in heterocyclic synthesis: synthesis of some new pyridopyrimidines and pyridothienopyridines
AUTHOR(S): Abu-Shanab, Fathi A.; Sayed, Ahmed Z.; El-Gaby, Mohamed S. A.; Selim, Mohamed R.
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Al-Azhar University, Assiut, 71524, Egypt
SOURCE: Al-Azhar Bulletin of Science (1999), 10(1), 63-70
CODEN: ABSCE7; ISSN: 1110-2535
PUBLISHER: Al-Azhar University, Faculty of Science
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:85768
GI


I


II

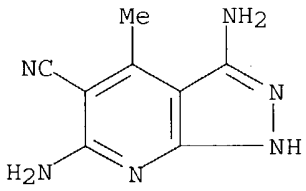

III

AB Reactions of 6-amino-3,5-dicyano-4-methylpyridine-2(1H)-thione (I) with α-halo ketones and Me iodide provide a convenient route to new pyridoheterocyclic derivs. such as II and III (R = Me, Ph).

IT **385810-53-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(pentasubstituted pyridines as intermediates in synthesis of new pyridopyrimidines and pyridothienopyridines)

RN 385810-53-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3,6-diamino-4-methyl- (9CI) (CA INDEX NAME)

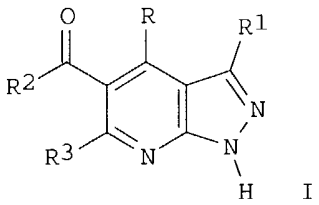


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:404840 CAPLUS
DOCUMENT NUMBER: 131:44815
TITLE: Preparation of benzoylpyrazolo[3,4-b]pyridines and analogs as cyclin dependent kinase inhibitors
INVENTOR(S): Misra, Raj N.; Kimball, S. David; Rawlins, David B.; Webster, Kevin R.; Bursuker, Isia
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9930710	A1	19990624	WO 1998-US25920	19981207
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314355	AA	19990624	CA 1998-2314355	19981207
AU 9916297	A1	19990705	AU 1999-16297	19981207
AU 747705	B2	20020523		
ZA 9811178	A	20000607	ZA 1998-11178	19981207
EP 1043998	A1	20001018	EP 1998-960781	19981207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508324	T2	20020319	JP 2000-538693	19981207
US 6107305	A	20000822	US 1998-209575	19981211
PRIORITY APPLN. INFO.:			US 1997-69633P	P 19971213
			WO 1998-US25920	W 19981207

OTHER SOURCE(S): MARPAT 131:44815
GI



I

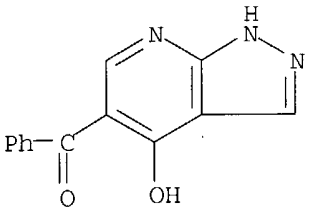
AB Title compds. [I; R = ZR6; R1,R3 = H or alkyl; R2 = (un)substituted amino, (cyclo)alkyl, alkoxy, (hetero)aryl(alkyl), etc.; R6 = (cyclo)alkyl, (hetero)aryl(alkyl), etc.; Z = O or SO0-2] were prepared Thus, 1-(4-methoxybenzyl)-1H-pyrazol-5-amine was condensed with EtOCH:C(CO2Et)2 and the product cyclized to give N-protected I (R = OH, R1 = R3 = H, R2 = OEt) which was converted in 4 addnl. steps to I (R = OBu, R1 = R3 = H, R2 = 2,4,6-trifluorophenyl). Data for biol. activity of I were given.

IT **227617-06-3P 227617-07-4P 227617-08-5P**
227617-09-6P 227617-10-9P 227617-11-0P
227617-12-1P 227617-13-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoylpyrazolo[3,4-b]pyridines and analogs as cyclin dependent kinase inhibitors)

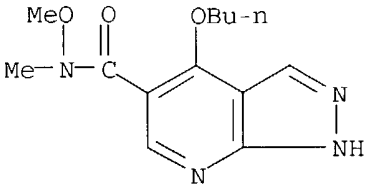
RN 227617-06-3 CAPLUS

CN Methanone, (4-butoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

CN Methanone, (4-hydroxy-1H-pyrazolo[3,4-b]pyridin-5-yl)phenyl- (9CI) (CA INDEX NAME)

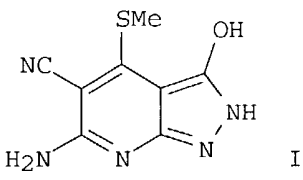


IT **227617-19-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoylpyrazolo[3,4-b]pyridines and analogs as cyclin dependent kinase inhibitors)
RN 227617-19-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-butoxy-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:481911 CAPLUS
DOCUMENT NUMBER: 127:205511
TITLE: Synthetic strategies to novel condensed (methylsulfanyl)azoles: reaction of ketene dithioacetals with amino- and oxo-azoles
AUTHOR(S): Elgemeie, Galal E. H.; Elghandour, Ahmed H.; Elzanate, Ali M.; Hussein, Ahmed M.
CORPORATE SOURCE: Chemistry Department, Faculty Science, Helwan University, Cairo, Egypt
SOURCE: Journal of Chemical Research, Synopses (1997), (7), 256-257
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



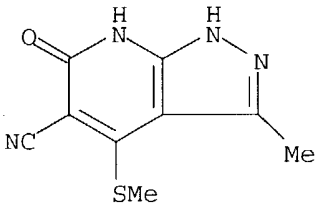
I

AB A novel synthesis of condensed methylsulfanylazoles, e.g., I, via the treatment of [bis(methylsulfanyl)methylidene]malononitrile with amino- and oxo-azoles is reported and the synthetic potential of the method demonstrated.

IT **194726-98-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of condensed (methylsulfanyl)azoles with hydrazine)

RN 194726-98-2 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 6,7-dihydro-3-methyl-4-(methylthio)-6-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:686012 CAPLUS

DOCUMENT NUMBER: 126:47074

TITLE: Synthesis and transformations of 6-amino-3,5-dicyano-4-ethylpyridine-2(1H)-thione

AUTHOR(S): Dyachenko, V. D.; Krivokolysko, S. G.; Litvinov, V. P.

CORPORATE SOURCE: Lugansk. Gos. Pedagog. Inst., Luhansk, 348011, Ukraine

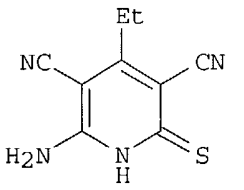
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1996), (8), 1094-1098
CODEN: KGSSAQ; ISSN: 0132-6244

PUBLISHER: Latviiskii Institut Organicheskogo Sinteza

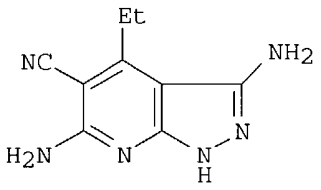
DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



I



II

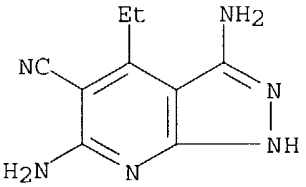
AB The title compound (I) was prepared by cyclocondensation of propanal with 2 equiv of 2-cyanothioacetamide. I was transformed into 2-(alkylthio)pyridines, 2-(ethylamino)-6-(ethylthio)-4-ethyl-3,5-pyridinedicarbonitrile, and a pyrazolopyridine (II).

IT **184530-74-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 184530-74-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3,6-diamino-4-ethyl- (9CI) (CA

INDEX NAME)



L4 ANSWER 16 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:311308 CAPLUS
DOCUMENT NUMBER: 120:311308
TITLE: Silver halide photographic material
INVENTOR(S): Ohno, Shigeru
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

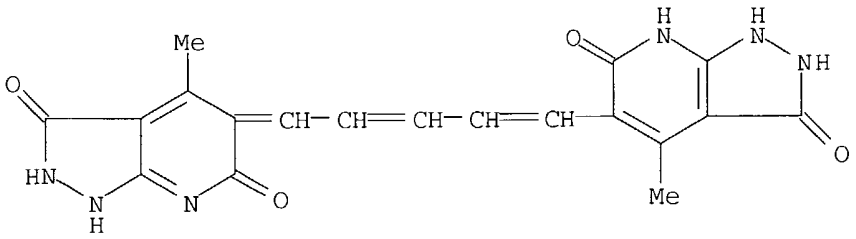
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 552646	A1	19930728	EP 1993-100333	19930112
EP 552646	B1	19980812		
R: DE, FR, GB, IT, NL				
JP 05197076	A2	19930806	JP 1992-27548	19920120
JP 2767335	B2	19980618		
US 5346810	A	19940913	US 1993-3476	19930112
PRIORITY APPLN. INFO.:			JP 1992-27548	19920120

OTHER SOURCE(S): MARPAT 120:311308

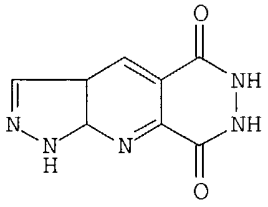
AB Disclosed is a silver halide photog. material having a hydrophilic colloid layer which contains a dispersion of solid fine grains of an oxonol dye which does not have any dissociating proton-containing substituent or salt thereof capable of dissolving the dye during development, except the enolic proton constituting a part of the chromophoric group of the dye in the compound In the photog. material, the oxonole dye colors only the specific hydrophilic layer without having any bad effect on the photog. properties of the material. The dye may be rapidly decolored by development of the material.

IT **155241-73-9**
RL: TEM (Technical or engineered material use); USES (Uses)
(silver halide photog. materials containing)

RN 155241-73-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-3,6(2H,5H)-dione, 4-methyl-5-[5-(2,3,6,7-tetrahydro-4-methyl-3,6-dioxo-1H-pyrazolo[3,4-b]pyridin-5-yl)-2,4-pentadienylidene]- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:217563 CAPLUS
DOCUMENT NUMBER: 120:217563
TITLE: Polycyclic pyridazines. II. Synthesis of pyrazolo[4',3':5,6]pyrido[2,3-d]pyridazine derivatives from dimethyl pyrazolo[3,4-b]pyridazine-5,6-dicarboxylates as the key intermediates
AUTHOR(S): Tominaga, Yoshinori; Luo, Jiann Kuan; Castle, Lyle W.; Castle, Raymond N.
CORPORATE SOURCE: Dep. Chem., Univ. South Florida, Tampa, FL, 33620-5250, USA
SOURCE: Journal of Heterocyclic Chemistry (1993), 30(1), 267-73
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:217563
GI



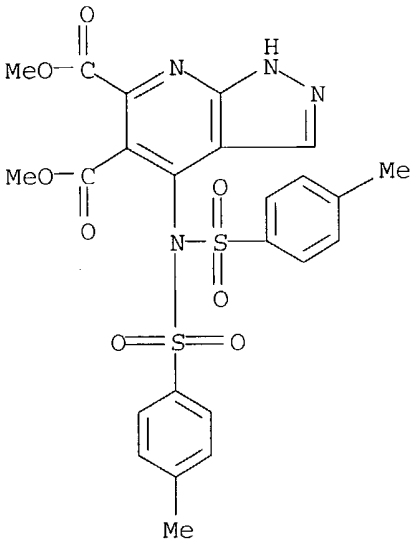
I

AB The preparation of the novel pyrazolo[4',3':5,6]pyrido[2,3-d]pyridazine ring system, for example I and some of its derivs. was accomplished. Also, 4-amino-1-phenyl-5,8-dioxo-, 4-amino-5,8-dioxo-, 1-phenyl-5,8-dioxo-, 5,8-dioxo-, 5,8-dichloro-1-phenyl-, 5-ethoxy-1-phenyl- and 8-ethoxy-1-phenylpyrazolo[4',3':5,6]pyrido[2,3-d]pyridazines were prepared

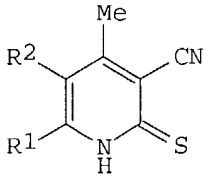
IT **153931-83-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as intermediate for pyrazolo[4',3':5,6]pyrido[2,3-d]pyridazine)

RN 153931-83-0 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5,6-dicarboxylic acid, 4-[bis[(4-methylphenyl)sulfonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:54466 CAPLUS
DOCUMENT NUMBER: 120:54466
TITLE: Reaction of 2-cyanothioacetamide with α-alkylated β-diketones: synthesis of pyridine-2(1H)-thione, thieno[2,3-b]pyridine and pyrido[2,3-c]pyrazole derivatives
AUTHOR(S): Elgemeie, Galal E. H.; Ali, Hosny A.; Eid, Mohga M.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Bani Suef, Egypt
SOURCE: Journal of Chemical Research, Synopses (1993), (7), 256-7
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:54466
GI



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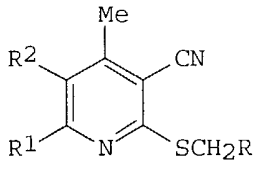

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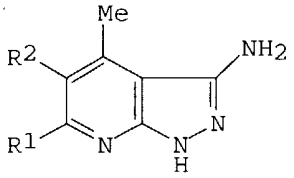


IV

AB A novel synthesis of pyridine-2(1H)-thione, thieno[2,3-b]pyridine and pyrido[2,3-c]pyrazole derivs., using 2-cyanothioacetamide (I) and α-alkylated β-diketones as starting components, is described. Thus, reaction of I and MeCOCHR2COR1 (R1 = Me, Ph; R2 = Me, Et) in

L4 ANSWER 19 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

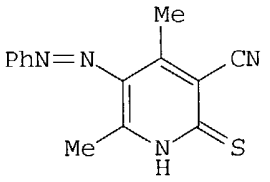
ACCESSION NUMBER: 1993:254812 CAPLUS
DOCUMENT NUMBER: 118:254812

TITLE: Reaction of (cyano)thioacetamide with arylhydrazones of β-diketones: novel synthesis of 2(1H)-pyridinethiones, thieno[2,3-b]pyridines, and pyrazolo[3,4-b]pyridines

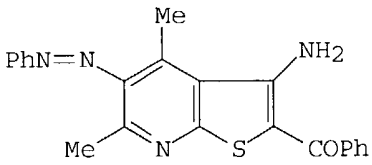
AUTHOR(S): Elgemeie, Galal Eldin Hamza; El-Zanate, Ali Mahmoud; Mansour, Abdel Kader E.
CORPORATE SOURCE: Chem. Dep., Fac. Sci., Bani Suef, Egypt

SOURCE: Bulletin of the Chemical Society of Japan (1993), 66(2), 555-61
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:254812

GI



I



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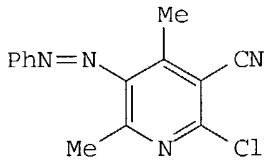

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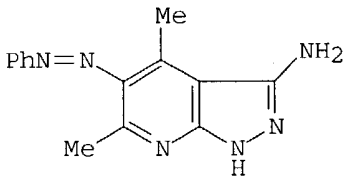


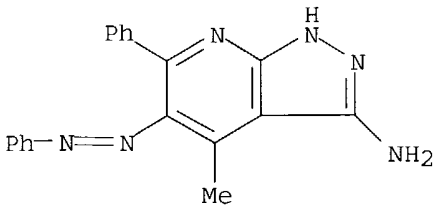
IV

AB A novel synthesis of 2(1H)-pyridinethiones, thieno[2,3-b]pyridines and pyrazolo[3,4-b]pyridines utilizing (cyano)thioacetamide and arylhydrazones of 1,3-diketones as starting components is described. Thus, NCCH2CSNH2 was treated with EtONa and then MeCOC(COMe):NNHPh to give 68% cyanodimethyl(phenylhydrazono)pyridinethione I, which cyclocondensed with PhCOCH2Br in the presence of EtONa in EtOH to give 52% thienopyridine II. Alternatively, I was chlorinated with Cl2 in CHCl3 to give 50% the chloropyridine III, which cyclocondensed with H2NNH2 in EtOH to give 60% pyrazolopyridine IV.

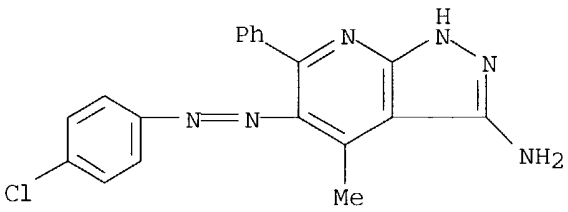
IT **147675-02-3P 147675-42-1P 147675-43-2P**
147675-44-3P 147675-45-4P 147675-46-5P
147675-47-6P 147675-48-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 147675-02-3 CAPLUS

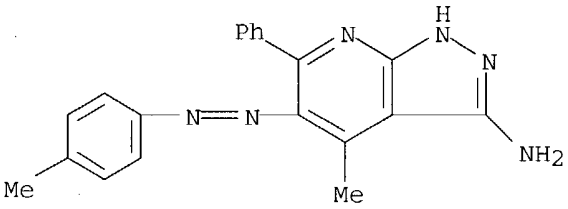
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 4,6-dimethyl-5-(phenylazo)- (9CI) (CA INDEX NAME)



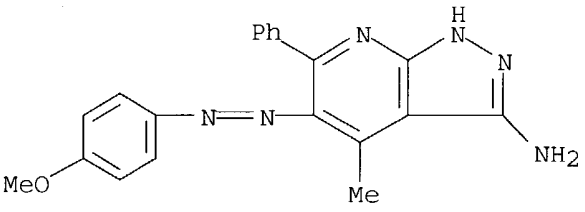
RN 147675-46-5 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 5-[(4-chlorophenyl)azo]-4-methyl-6-phenyl- (9CI) (CA INDEX NAME)



RN 147675-47-6 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 4-methyl-5-[(4-methylphenyl)azo]-6-phenyl- (9CI) (CA INDEX NAME)

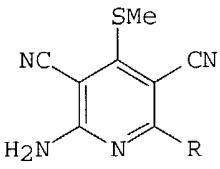


RN 147675-48-7 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 5-[(4-methoxyphenyl)azo]-4-methyl-6-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:449335 CAPLUS
DOCUMENT NUMBER: 115:49335
TITLE: Cyclization reactions of nitriles. XL. Synthesis and transformations of 6-imino-3,5-dicyano-4-(methylthio)-2(1H)-pyridineselenone
AUTHOR(S): Sharanin, Yu. A.; Dyachenko, V. D.; Turov, A. V.
CORPORATE SOURCE: Voroshilovgr. Gos. Pedagog. Inst., Voroshilovgrad, USSR

SOURCE: Zhurnal Obshchei Khimii (1990), 60(12), 2750-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 115:49335
GI



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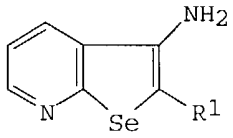
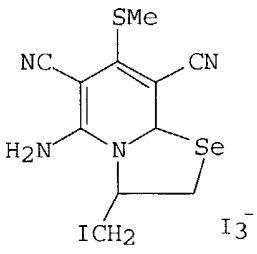


III



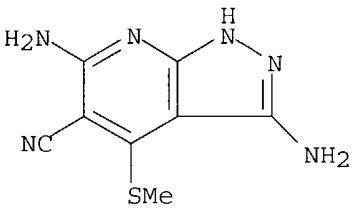
IV

AB The cyclocondensation of (MeS)2C:C(CN)2 with NCCH2CSeNH2 in the presence of EtONa gives pyridineselenolate I (R = Se-Na+) in 48% yield. The hydrolysis of I (R = Se-Na+) gave the corresponding selenone II. I or II can be used as starting materials to a number of selenium compds., e.g., selenophenopyridines III (R1 = H, CH:CH2) selenopyridines I (R = SeCH2R2; R2 = H, CH:CH2, CN, COPh, CONH2, CO2H) or selenazolopyridinium salt IV.

IT **134539-70-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 134539-70-1 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3,6-diamino-4-(methylthio)-(9CI) (CA INDEX NAME)

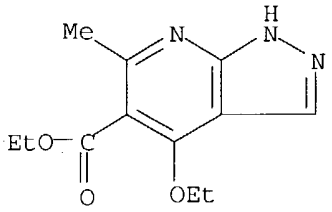


L4 ANSWER 21 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

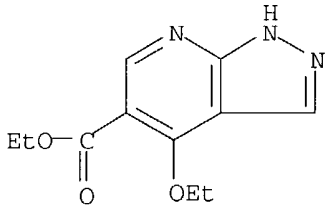
ACCESSION NUMBER: 1989:614428 CAPLUS
DOCUMENT NUMBER: 111:214428
TITLE: Synthesis and structure-activity relationships of a series of anxioselective pyrazolopyridine ester and amide anxiolytic agents

AUTHOR(S): Bare, Thomas M.; McLaren, Charles D.; Campbell, James B.; Firor, Judy W.; Resch, James F.; Walters, Claudia P.; Salama, Andre I.; Meiners, Brad A.; Patel, Jitendra B.
CORPORATE SOURCE: Dep. Med. Chem., ICI Americas Inc., Wilmington, DE, 19897, USA

SOURCE: Journal of Medicinal Chemistry (1989), 32(12), 2561-73
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:214428
GI



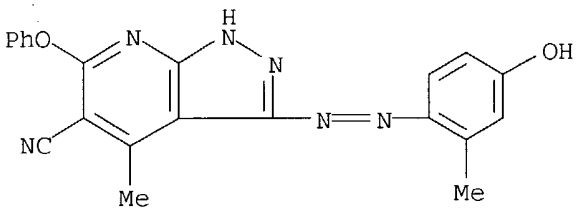
IT **41094-93-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with bromopentyne)
RN 41094-93-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



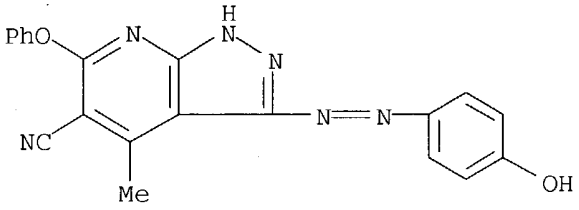
L4 ANSWER 22 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:408881 CAPLUS
DOCUMENT NUMBER: 111:8881
TITLE: Pyrazolopyridine monoazo dyes for polyamide and polyester films
INVENTOR(S): Muszynski, Miroslaw; Hahn, Witold
PATENT ASSIGNEE(S): Osrodek Badawczo-Rozwojowy Przemyslu Barwnikow "Organika", Pol.
SOURCE: Pol., 6 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 130682	B2	19840831	PL 1982-239213	19821123

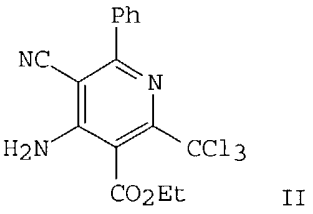
PRIORITY APPLN. INFO.: PL 1982-239213 19821123
OTHER SOURCE(S): CASREACT 111:8881
GI



RN 121071-18-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-[(4-hydroxyphenyl)azo]-4-methyl-6-phenoxy- (9CI) (CA INDEX NAME)

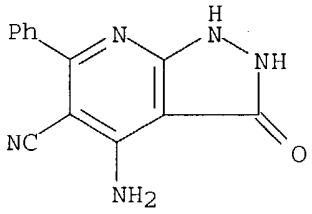


L4 ANSWER 23 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:95088 CAPLUS
DOCUMENT NUMBER: 110:95088
TITLE: Nitriles in organic synthesis. A route to polyfunctionally substituted azabiaryls
AUTHOR(S): Ibrahim, Nadia S.; Mohamed, Mona H.; Elnagdi, Mohamed H.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1988), 321(9), 569-70
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:95088
GI



II

AB PhCOC(CN):C(NH2)CH2CO2Et (I) was prepared by reaction of PhCOCH2CN with HN:C(CO2Et)2. Reaction of I with RC6H4N2+ (R = 2-Cl, 4-Cl) gave PhCOC(CN):C(NH2)C(CO2Et):NNHC6H4R. Treatment of I with Cl3CCN gave the pyridine II which was converted to pyrazolopyridine and pyrazolopyridopyridine derivs.
IT **118128-93-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 118128-93-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 4-amino-2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:632740 CAPLUS
Correction of: 1988:206253

DOCUMENT NUMBER: 109:232740
Correction of: 108:206253

TITLE: Disperse dyes derived from 3-(arylazo)-5-cyano-4-methyl-1H-pyrazolo[3,4-b]pyridine

AUTHOR(S): Hahn, Witold E.; Muszynski, Miroslaw

CORPORATE SOURCE: Inst. Chem., Univ. Lodz, Lodz, Pol.

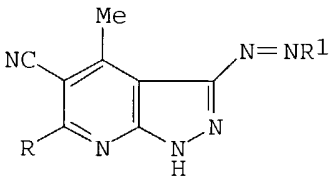
SOURCE: Chemia Stosowana (1986), 30(3), 421-9
CODEN: CHSWAP; ISSN: 0376-0898

DOCUMENT TYPE: Journal

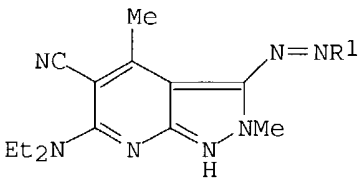
LANGUAGE: Polish

OTHER SOURCE(S): CASREACT 109:232740

GI



I



II

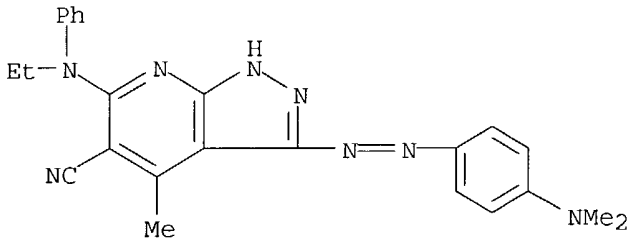
AB Thirty azo dyes I (R = Cl, Et2N, PhNH, EtPhN, PhO; R1 = 4-Me2NC6H4, 4-(AcOCH2CH2)2N-2-MeC6H3, 4-NCCH2CH2(Et)NC6H4, 5-MeO-4-(AcOCH2CH2)2N-2-AcNHC6H2, and 5-methyl-2-phenyl-3-oxo-4-pyrazolyl) were prepared from the appropriately substituted 3-amino-1H-pyrazolo[3,4-b]pyridine by diazotization and coupling with with R1H (R1 as above). Methylation of I (R = Et2N) with Me2SO4 gave five II (R1 as above). Some structure-color relations were discussed with particular consideration of the effect of the Cl substituent. Dyeings of I and II on polyester fibers had high fastness to washing, rubbing, acid, perspiration, high-temperature ironing, and light.

IT **114044-62-1 114044-63-2 114044-64-3**
114044-65-4 114044-66-5
RL: USES (Uses)
(coupling of diazotized, with aniline and pyrazole derivs.)

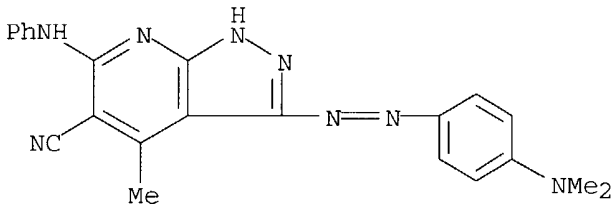
RN 114044-62-1 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-amino-6-chloro-4-methyl- (9CI) (CA INDEX NAME)

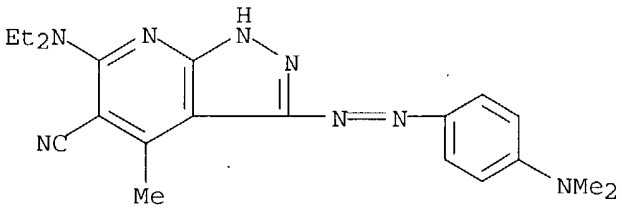
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-[[4-(dimethylamino)phenyl]azo]-6-(ethylphenylamino)-4-methyl- (9CI) (CA INDEX NAME)



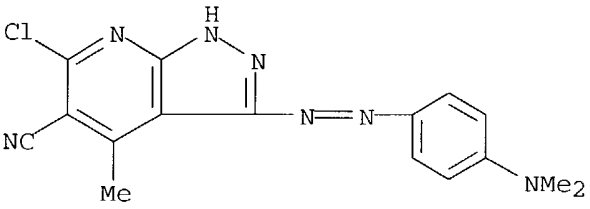
RN 114044-94-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-[[4-(dimethylamino)phenyl]azo]-4-methyl-6-(phenylamino)- (9CI) (CA INDEX NAME)



RN 114044-95-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 6-(diethylamino)-3-[[4-(dimethylamino)phenyl]azo]-4-methyl- (9CI) (CA INDEX NAME)

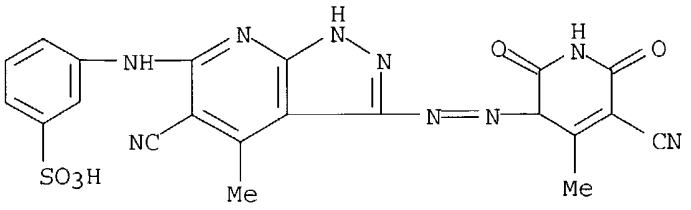


RN 114044-96-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 6-chloro-3-[[4-(dimethylamino)phenyl]azo]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:439392 CAPLUS

(9CI) (CA INDEX NAME)

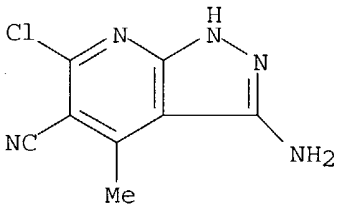


L4 ANSWER 26 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:206253 CAPLUS
DOCUMENT NUMBER: 108:206253
TITLE: Disperse dyes derived from 3-arylazo-5-cyano-4-methyl-1H-pyrazolo[3,4-b]pyridine
AUTHOR(S): Hahn, Witold E.; Muszynski, Miroslaw
CORPORATE SOURCE: Inst. Chem., Univ. Lodz, Lodz, Pol.
SOURCE: Chemia Stosowana (1986), 30(3), 421-9
CODEN: CHSWAP; ISSN: 0376-0898
DOCUMENT TYPE: Journal
LANGUAGE: Polish

AB Thirty azo dyes I (R = Cl, Et2N, PhNH, EtPhN, and PhO; R1 = 4-Me2NC6H4, 4-(AcOCH2CH2)2N-2-MeC6H3, 4-NCCH2CH2(Et)NC6H4, 5-MeO-4-(AcOCH2CH2)2N-2-AcNHC6H2, and 5-methyl-2-phenyl-3-oxo-4-pyrazolyl) were prepared from the appropriately substituted 3-amino-1H-pyrazolo[3,4-b]pyridine by diazotization and coupling with R1H (R1 as above). Methylation of I (R = Et2N) with Me2SO4 gave five II (R1 as above). Some structure-color relations were discussed with particular consideration of the effect of the Cl substituent. Dyeing tests revealed high resistance of I and II to washing, friction, acid, perspiration, high-temperature ironing, and light when the dyes were applied onto polyester fibers.

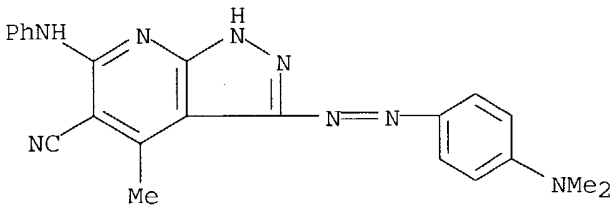
IT **114044-62-1 114044-63-2 114044-64-3**
114044-65-4 114044-66-5
RL: USES (Uses)
(coupling of diazotized, with aniline and pyrazole derivs.)

RN 114044-62-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-amino-6-chloro-4-methyl- (9CI) (CA INDEX NAME)

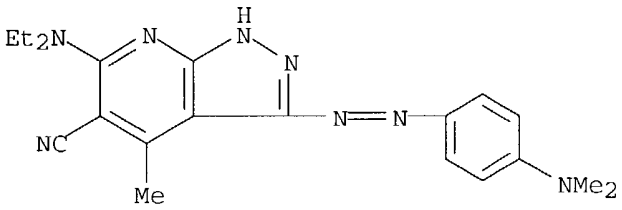


RN 114044-63-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-amino-6-(diethylamino)-4-methyl- (9CI) (CA INDEX NAME)

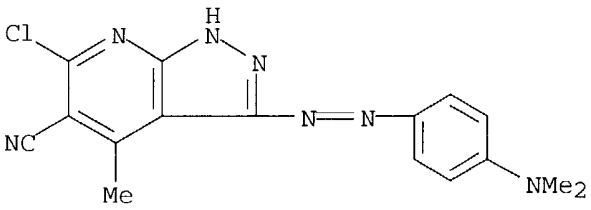
RN 114044-94-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 3-[[4-(dimethylamino)phenyl]azo]-4-methyl-6-(phenylamino)- (9CI) (CA INDEX NAME)



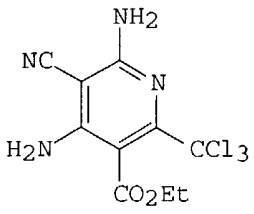
RN 114044-95-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 6-(diethylamino)-3-[[4-(dimethylamino)phenyl]azo]-4-methyl- (9CI) (CA INDEX NAME)



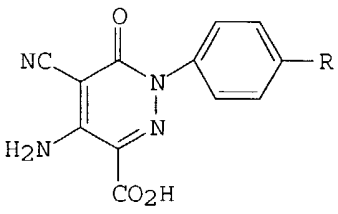
RN 114044-96-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 6-chloro-3-[[4-(dimethylamino)phenyl]azo]-4-methyl- (9CI) (CA INDEX NAME)



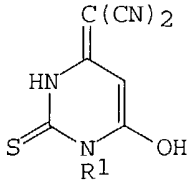
L4 ANSWER 27 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:5954 CAPLUS
DOCUMENT NUMBER: 108:5954
TITLE: Nitriles in heterocyclic synthesis: synthesis of some new pyridine, pyridazine and pyrimidine derivatives
AUTHOR(S): Mohamed, Mona Hassan; Ibrahim, Nadia Sobhy; Elnagdi, Mohamed Hilmy
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Egypt
SOURCE: Heterocycles (1987), 26(4), 899-902
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:5954
GI



II



III



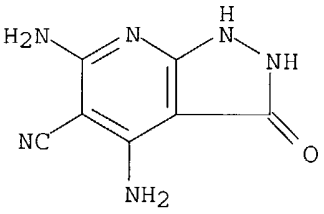
IV

AB Cyclocondensation of H2NC(CH2CO2Et):C(CN)2 (I) with Cl3CCN gave 80% pyridine II. Coupling reaction of I with 4-RC6H4N2+ Cl- (R = H, Me), followed by cyclization gave pyridazines III. Reaction of I with R1NCS (R1 = Ph, Bz) gave pyrimidines IV.

IT **111692-70-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 111692-70-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carbonitrile, 4,6-diamino-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:138321 CAPLUS

DOCUMENT NUMBER: 106:138321

TITLE: 4-Alkyl(aryl)-3,6-diaminopyrazolo[3,4-b]pyridines with chlorine or alkylthio substitution in the 5-position

AUTHOR(S): Pochat, Francis

CORPORATE SOURCE: Lab. Synthese Org., Univ. Rennes I, Rennes, F. 35042, Fr.

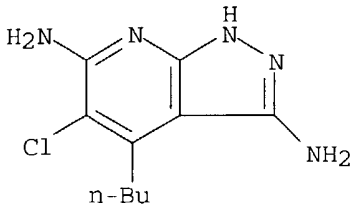
SOURCE: Tetrahedron (1986), 42(16), 4461-9
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

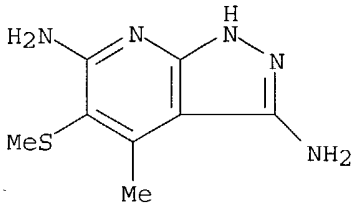
LANGUAGE: French

OTHER SOURCE(S): CASREACT 106:138321

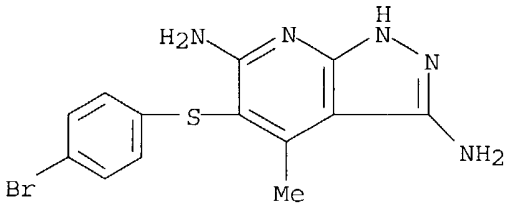
GI



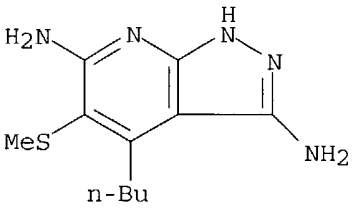
RN 107450-42-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-3,6-diamine, 4-methyl-5-(methylthio)- (9CI) (CA INDEX NAME)



RN 107450-43-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-3,6-diamine, 5-[(4-bromophenyl)thio]-4-methyl- (9CI) (CA INDEX NAME)

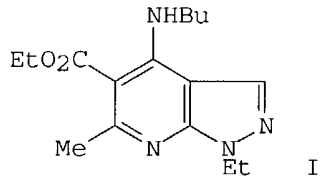


RN 107450-44-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-3,6-diamine, 4-butyl-5-(methylthio)- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:27339 CAPLUS
DOCUMENT NUMBER: 106:27339
TITLE: Metabolism of tracazolate. Metabolites in dog and rat urine
AUTHOR(S): Heald, Anthony F.; Dizio, Deborah P.; Kirkland, Karin M.; Loftus, Philip; Malbica, Joseph O.
CORPORATE SOURCE: Stuart Pharm., ICI Americas Inc., Wilmington, DE, 19897, USA

SOURCE: Drug Metabolism and Disposition (1986), 14(6), 631-6
CODEN: DMDSAI; ISSN: 0090-9556
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

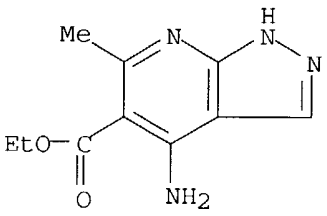


I

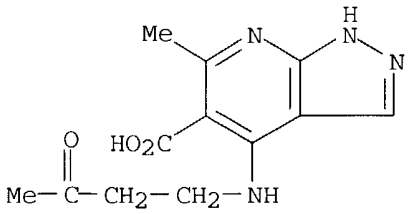
AB The urinary metabolites of tracazolate (I) [41094-88-6], an anxiolytic agent, obtained from rats and dogs dosed with 14C-labeled tracazolate were characterized. No unchanged tracazolate was detected. Fifteen metabolites were identified in dog urine, 7 of which had not previously been found in rat blood and tissue. Eleven of these metabolites were also found in rat urine. The metabolites were formed by 1) deesterification to the 5-carboxylic acid; 2) N-deethylation of the pyrazole ring; 3) oxidation at the γ-position of the n-butylamino side chain; 4) oxidation of the terminal C of this side chain; 5) loss of the n-butylamino group; and 6) hydroxylation of the 6-Me group followed by condensation with the 5-carboxylic acid to form γ-lactones. The major metabolites in dog urine were the desethyl-desbutyl-deesterified compound, the desbutyl-deesterified compound, and the desbutyl-desethyl-lactone. Loss of the Bu side chain and, also, lactone formation, appeared to occur to a lesser extent in the rat than in the dog.

IT **89865-92-9 96740-67-9 96751-80-3**
106083-63-0 106083-64-1 106083-65-2
106083-66-3
RL: BIOL (Biological study)
(as tracazolate metabolite)

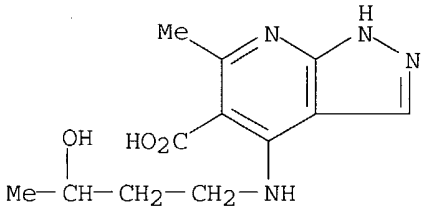
RN 89865-92-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-amino-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 96740-67-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-amino-6-methyl- (9CI) (CA INDEX NAME)



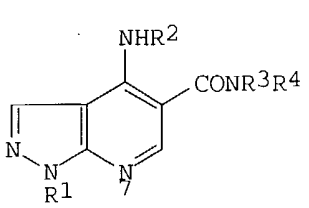
RN 106083-66-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(3-hydroxybutyl)amino]-6-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:478930 CAPLUS
DOCUMENT NUMBER: 105:78930
TITLE: 1H-pyrazolo[3,4-b]pyridinecarboxamides
INVENTOR(S): Bare, Thomas Michael
PATENT ASSIGNEE(S): ICI Americas, Inc., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 180318	A1	19860507	EP 1985-306798	19850924
EP 180318	B1	19911106		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4745121	A	19880517	US 1985-774905	19850911
ZA 8507173	A	19860730	ZA 1985-7173	19850918
AU 8547597	A1	19860410	AU 1985-47597	19850919
AU 573899	B2	19880623		
IL 76427	A1	19891215	IL 1985-76427	19850919
AT 69228	E	19911115	AT 1985-306798	19850924
CN 85107874	A	19860730	CN 1985-107874	19850926
HU 38344	A2	19860528	HU 1985-3823	19851002
NO 8503923	A	19860407	NO 1985-3923	19851003
FI 8503859	A	19860405	FI 1985-3859	19851004
DK 8504546	A	19860405	DK 1985-4546	19851004
JP 61091188	A2	19860509	JP 1985-220499	19851004
ES 547620	A1	19870216	ES 1985-547620	19851004
PRIORITY APPLN. INFO.:			GB 1984-25104	19841004
			EP 1985-306798	19850924

GI



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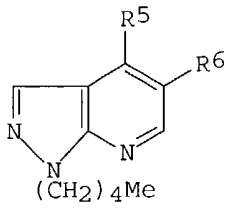


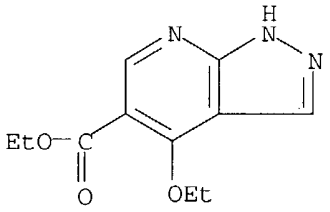
II

AB The title compds. [I; R1 = alkenyl, alkynyl, cyanoalkyl, ketoalkyl, (halo)alkyl; R2 = H, alkyl, alkanoyl; R3, R4 = H, cycloalkyl, cycloalkylalkyl, alkynyl, alkoxy, thiazolyl, Ph, PhCH2, (un)substituted alkyl; R3R4N = heterocyclyl] and the 7-N-oxides thereof were prepared as tranquilizers (no data). Thus, pyrazolo[3,4-b]pyridine II (R5 = Cl, R6 = CO2Et) was aminated with liquid NH3 and saponified to give 81% II (R5 = NH2, R6 = CO2H). This was converted to the acid chloride and amidated with PrNH2 to give 72% I (R1 = pentyl, R2 = R3 = H, R4 = Pr).

IT **41094-93-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)

RN 41094-93-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:478927 CAPLUS

DOCUMENT NUMBER: 105:78927

TITLE: Pyrazolopyridine compounds

INVENTOR(S): Campbell, James B., Jr.

PATENT ASSIGNEE(S): ICI Americas, Inc., USA

SOURCE: U.S., 24 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

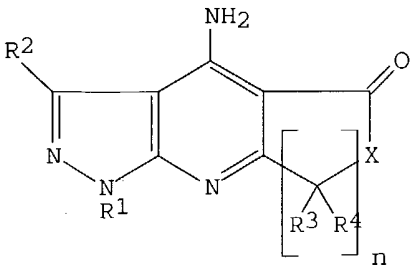
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4563525	A	19860107	US 1983-499745	19830531

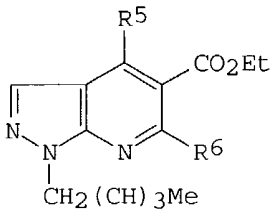
PRIORITY APPLN. INFO.: US 1983-499745 19830531

OTHER SOURCE(S): CASREACT 105:78927

GI



I



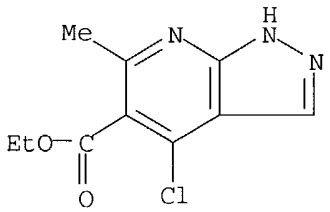
II

AB The title compds. [I; R1 = cycloalkyl, alkenyl, alkynyl, (un)substituted alkyl, aryl, aralkyl; R2 = H, alkyl; R3,R4 = , alkyl, (un)substituted aryl, aralkyl; X = O, (un)substituted NH; n = 1,2] were prepared as central nervous system depressants. Thus, NCCH2CH2NHNH2 was condensed with Me(CH2)3CHO and cyclized to give 5-amino-1-pentylpyrazole. This was cyclocondensed with MeCOCH(CO2Et)2 to give 1H-pyrazolo[3,4-b]pyridine-5-carboxylate II (R5 = OH, R6 = Me). This was sequentially treated with POCl3, 3-ClC6H4C(O)OOH, and Ac2O to give II (R5 = Cl, R6 = CH2OAc) (III). Upon heating in NH3/EtOH, III underwent aminolysis and cyclization to give lactone I (R1 = pentyl, R2-R4 = H, X = O, n = 1). This was heated with CH2:CHCH2NH2 to give I (R1 = pentyl, R2-R4 = H, X = CH:CHCH2N) (IV). IV was effective in displacing flunitrazepam in rat cerebral cortex prepns.

IT **89158-89-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)

RN 89158-89-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-chloro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:504637 CAPLUS

DOCUMENT NUMBER: 103:104637

TITLE: Reaction of trichloroacetonitrile and phenyl cyanate with ylidene nitriles

AUTHOR(S): Gewald, Karl; Hain, Ute; Gruner, Margit

CORPORATE SOURCE: Sekt. Chem. Tech., Univ. Dresden, Dresden, DDR-8027, Ger. Dem. Rep.

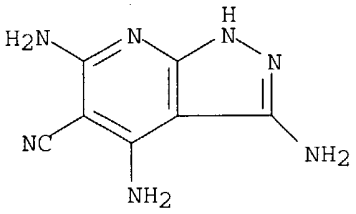
SOURCE: Chemische Berichte (1985), 118(6), 2198-207
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 103:104637

GI

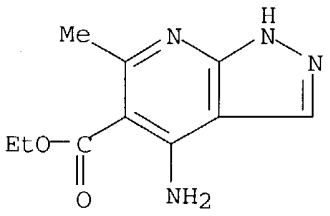


L4 ANSWER 33 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:400113 CAPLUS
DOCUMENT NUMBER: 103:113
TITLE: Tracazolate metabolites in rat tissue
AUTHOR(S): Zuleski, F. R.; Kirkland, K. M.; Melgar, M. D.; Malbica, J. O.
CORPORATE SOURCE: Dep. Saf. Eval., Stuart Pharm., Wilmington, DE, 19897, USA
SOURCE: Drug Metabolism and Disposition (1985), 13(2), 139-47
CODEN: DMDSAI; ISSN: 0090-9556
DOCUMENT TYPE: Journal
LANGUAGE: English

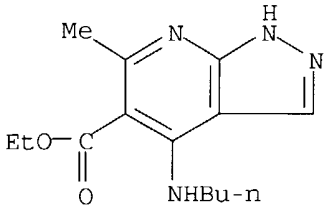
AB Tracazolate [41094-88-6] undergoes extensive biotransformation to lipophilic metabolites following oral dosage to male rats. Twenty-one metabolites were identified in a plasma hexane extract by mass spectrometry. Coadministration of unlabeled tracazolate with its stable C-13 isotope expedited the isolation and identification of 11 biotransformation products. The various metabolites resulted from either hydrolysis, oxidation, dealkylation, or conversion of an Et group to a vinyl group and also from combinations of these biotransformation reactions. Brain extract contained tracazolate and 12 of the metabolites found in plasma. Exts. of fat contained tracazolate and 9 of the plasma metabolites. An uncommon type of metabolite less polar than tracazolate(1-vinyl tracazolate [91933-51-6]) was isolated by HPLC and identified by mass spectrometry.

IT **89865-92-9 96740-57-7 96740-65-7**
96740-67-9 96751-80-3
RL: BIOL (Biological study)
(as tracazolate metabolite)

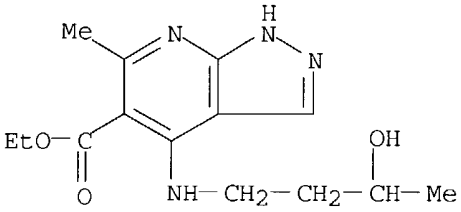
RN 89865-92-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-amino-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



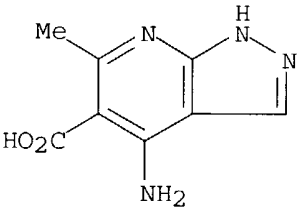
RN 96740-57-7 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



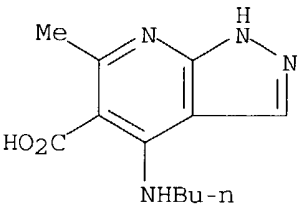
RN 96740-65-7 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(3-hydroxybutyl)amino]-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 96740-67-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-amino-6-methyl- (9CI) (CA INDEX NAME)



RN 96751-80-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)-6-methyl- (9CI) (CA INDEX NAME)

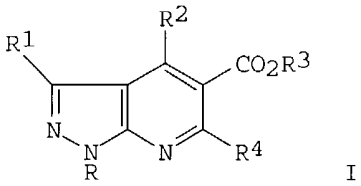


L4 ANSWER 34 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:174823 CAPLUS
DOCUMENT NUMBER: 100:174823
TITLE: Pyrazolopyridine compounds
INVENTOR(S): Bare, Thomas Michael
PATENT ASSIGNEE(S): ICI Americas, Inc. , USA
SOURCE: Eur. Pat. Appl., 86 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 96995	A2	19831228	EP 1983-303095	19830527
EP 96995	A3	19841205		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4552883	A	19851112	US 1983-496259	19830519
ZA 8304052	A	19840425	ZA 1983-4052	19830603
AU 8315610	A1	19831222	AU 1983-15610	19830608
FI 8302148	A	19831216	FI 1983-2148	19830614
NO 8302151	A	19831216	NO 1983-2151	19830614
JP 59051283	A2	19840324	JP 1983-105100	19830614
ES 523282	A1	19850216	ES 1983-523282	19830615
PRIORITY APPLN. INFO.:			GB 1982-17258	19820615

GI

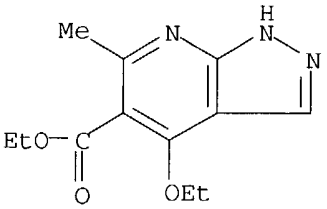


I

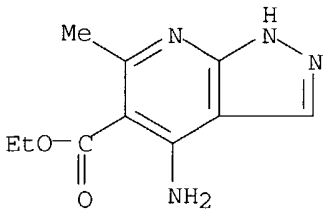
AB Pyrazolopyridinecarboxylates I [R = (un)substituted alkyl, cycloalkyl; R1, R4 = H, alkyl; R2 = amino; R3 = alkenyl, alkynyl, substituted alkyl, aryl] and their N7-oxides were prepared as anxiolytics (no data). Thus, BuCHO was treated with NCCH2CH2NHNH2 and the hydrazone cyclized to 5-amino-1-pentylpyrazole which was treated with MeCOCH(CO2Et)2 to give I (R = pentyl, R1 = H, R2 = OH, R3 = Et, R4 = Me). Chlorination of the OH group and reaction with NH3 gave I (R = pentyl, R1 = H, R2 = NH2, R3 = Et, R4 = Me) which was hydrolyzed to the acid and esterified to give I (R = pentyl, R1 = H, R2 = NH2, R3 = allyl, R4 = Me).

IT **89865-79-2P 89865-92-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)

RN 89865-79-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 89865-92-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-amino-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)

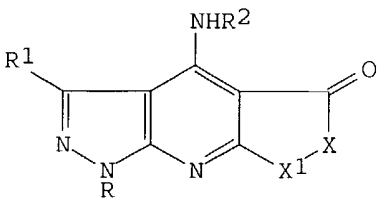


L4 ANSWER 35 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:121059 CAPLUS
DOCUMENT NUMBER: 100:121059
TITLE: Pyrazolopyridine compounds
INVENTOR(S): Bare, Thomas Michael; Campbell, James Boniface, Jr.; Heald, Anthony Frederick
PATENT ASSIGNEE(S): ICI Americas, Inc. , USA
SOURCE: Eur. Pat. Appl., 89 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

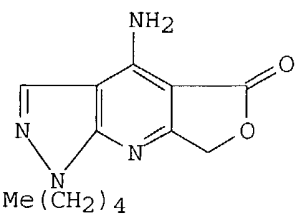
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 94175	A1	19831116	EP 1983-302389	19830427
EP 94175	B1	19861029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4511568	A	19850416	US 1983-485191	19830415
AT 23162	E	19861115	AT 1983-302389	19830427
ZA 8303073	A	19831228	ZA 1983-3073	19830429
IN 159825	A	19870606	IN 1983-DE281	19830504
AU 8314259	A1	19831117	AU 1983-14259	19830505
HU 31729	O	19840528	HU 1983-1587	19830509
DK 8302117	A	19831113	DK 1983-2117	19830511
FI 8301646	A	19831113	FI 1983-1646	19830511
NO 8301678	A	19831114	NO 1983-1678	19830511
JP 59025392	A2	19840209	JP 1983-83437	19830512
DD 210456	A5	19840613	DD 1983-250875	19830512
ES 522325	A1	19841216	ES 1983-522325	19830512
US 4645838	A	19870224	US 1984-624530	19840625

PRIORITY APPLN. INFO.: GB 1982-13700 19820512
GB 1983-1676 19830121
US 1983-485191 19830415
EP 1983-302389 19830427

GI



I



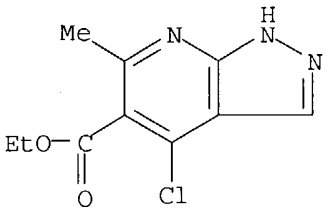
II

AB Pyrazolopyridines I [X = O, (un)substituted NH; X1 = (un)substituted CH2, CH2CH2; R = (un)substituted alkyl, aryl; R1 = H, alkyl; R2 = H, (un)substituted alkyl, aryl] were prepared for use as anxiolytic agents (no data). Thus II was prepared from NCCH2CH2NHNH2, BuCHO, and MeCOCH(CO2Et)2 in 7 steps. II was N-alkylated or aminolyzed to pyrrole derivs.

IT **89158-89-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromotrifluorobutane)

RN 89158-89-4 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-chloro-6-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:6444 CAPLUS

DOCUMENT NUMBER: 100:6444

TITLE: Studies in the field of nitrogen heterocyclic compounds. Part VII. Cyclocondensation of ethyl acetoacetate with 5-amino-3-pyrazolone leading to 5H,8H-1,5a,8a-triazaacenaphthylene derivatives - novel nitrogen bridgehead ring system

AUTHOR(S): Balicki, Roman

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01224, Pol.

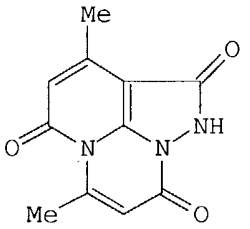
SOURCE: Polish Journal of Chemistry (1982), 56(4-5-6), 711-17
CODEN: PJCHDQ; ISSN: 0137-5083

DOCUMENT TYPE: Journal

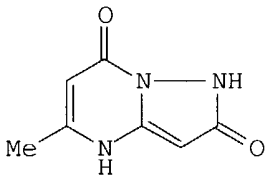
LANGUAGE: English

OTHER SOURCE(S): CASREACT 100:6444

GI



II



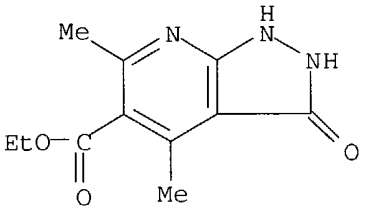
III

AB 5-Amino-3-pyrazolone (I) was treated with 2 mol MeCOCH2CO2Et to yield a triazaacenaphthylene compound II. However, I and 1 mol MeCOCH2CO2Et gave the pyrazolopyrimidine III. III was treated with NaOEt and 1 mol MeCOCH2CO2Et to give II, useful as an antitumor agent (no data).

IT **88101-45-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 88101-45-5 CAPLUS

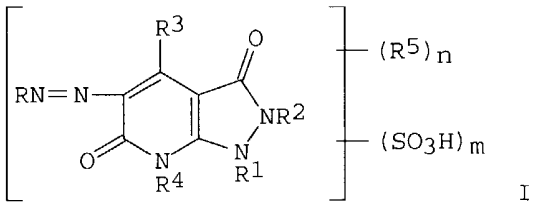
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 2,3-dihydro-4,6-dimethyl-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



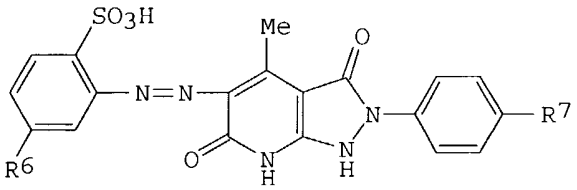
L4 ANSWER 37 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:424020 CAPLUS
DOCUMENT NUMBER: 99:24020
TITLE: 3,6-Dioxo-1,2-dihydro-7H-pyrazolo[3,4-b]pyridine azo dyes
INVENTOR(S): Herd, Karl Josef
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 72 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3138774	A1	19830414	DE 1981-3138774	19810930
EP 75808	A2	19830406	EP 1982-108615	19820918
EP 75808	A3	19830727		
R: CH, DE, FR, GB, IT, LI				
JP 58069254	A2	19830425	JP 1982-166783	19820927
PRIORITY APPLN. INFO.:			DE 1981-3138774	19810930

GI

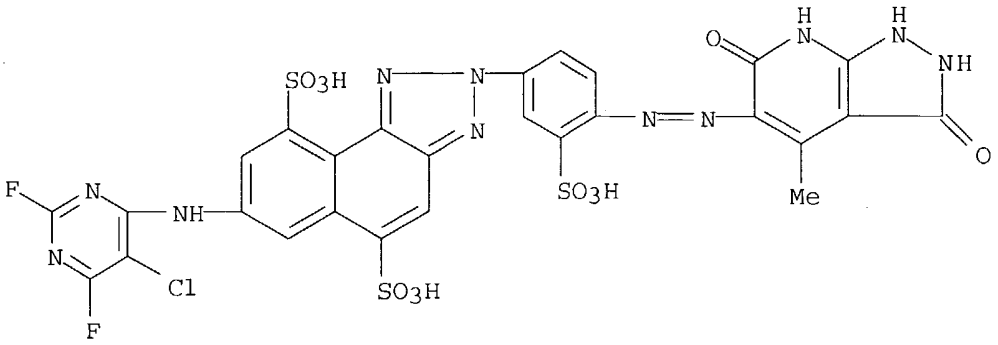


I

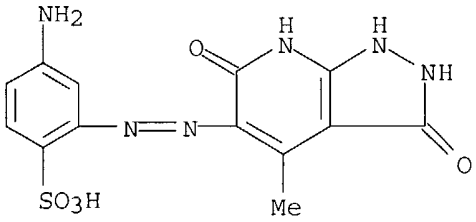


II

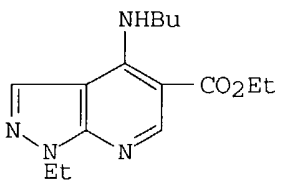
AB Dyes of general structure I are prepared, where R represents the residue of a benzene, naphthalene, or heterocyclic diazo component; R1 and R2 = H, acyl, optionally substituted alkyl, aryl, heteroaryl, or aralkyl, or O-, NH-, SO-, or SO2-interrupted alkenyl; R3 = H, optionally substituted alkyl or aryl, carboxylate ester, carbamoyl, amino, or optionally substituted



IT **86104-88-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with amino difluorotriazine derivative)
RN 86104-88-3 CAPLUS
CN Benzenesulfonic acid, 4-amino-2-[(2,3,6,7-tetrahydro-4-methyl-3,6-dioxo-1H-pyrazolo[3,4-b]pyridin-5-yl)azo]- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:62520 CAPLUS
DOCUMENT NUMBER: 96:62520
TITLE: Biotransformation in the monkey of cartazolate (SQ 65,396), a substituted pyrazolopyridine having anxiolytic activity
AUTHOR(S): Kripalani, K. J.; Dreyfuss, J.; Nemec, J.; Cohen, A. I.; Meeker, F.; Egli, P.
CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, 08903, USA
SOURCE: Xenobiotica (1981), 11(7), 481-8
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



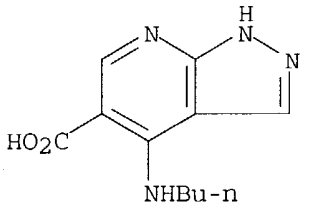
I

AB After oral administration of cartazolate (SQ 65396) (I) [34966-41-1] to rhesus monkeys, 7 metabolites were identified in pooled urine. These

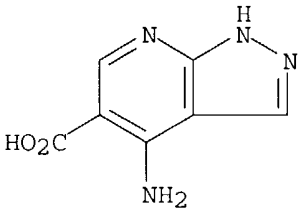
resulted from a combination of hydrolysis of the 5-carboxylic acid Et ester, N-deethylation of the pyrazole ring, γ-hydroxylation of the n-butylamino side-chain, removal of the n-Bu group, and conjugation with β-glucuronic acid.

IT **41094-82-0 80724-52-3 80724-55-6**
RL: BIOL (Biological study)
(as cartazolate metabolite)

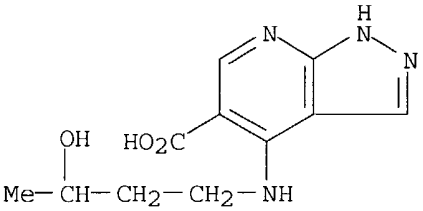
RN 41094-82-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)- (9CI) (CA INDEX NAME)



RN 80724-52-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-amino- (9CI) (CA INDEX NAME)



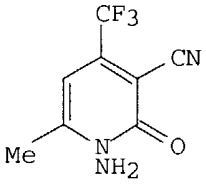
RN 80724-55-6 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(3-hydroxybutyl)amino]- (9CI) (CA INDEX NAME)



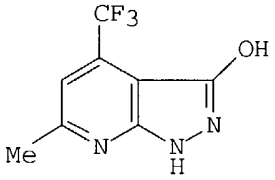
L4 ANSWER 39 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1980:58673 CAPLUS
DOCUMENT NUMBER:	92:58673
TITLE:	Studies in the field of nitrogen heterocyclic compounds. Part I. Synthesis of 1-amino-2-pyridone containing the trifluoromethyl group and related compounds
AUTHOR(S):	Balicki, Roman; Nantka-Namirski, Pawel
CORPORATE SOURCE:	Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 00961, Pol.
SOURCE:	Polish Journal of Chemistry (1979), 53(7-8), 1515-25

CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:58673
GI



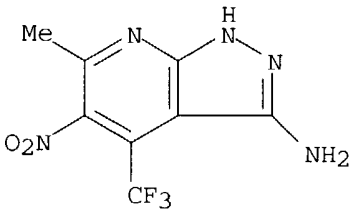
I



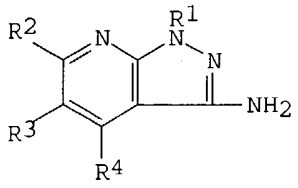
II

AB The pyridone I and the pyrazolopyridine II were obtained in 62 and 21% yield resp. by treating CF3COCH2COMe with H2NNHCOCH2CN under reflux in EtOH.

IT **72567-55-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72567-55-6 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 6-methyl-5-nitro-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:183751 CAPLUS
DOCUMENT NUMBER: 88:183751
TITLE: Antibacterial spectra of seven new 3-aminopyrazolo[3,4-b]pyridine derivatives
AUTHOR(S): Pejcic, Marijan; Jakovina, Miroslav; Koruncev, Dimitrije
CORPORATE SOURCE: "Pliva" Pharm. and Chem. Works, Zagreb, Yugoslavia
SOURCE: Acta Pharmaceutica Jugoslavica (1977), 27(3), 143-6
CODEN: APJUA8; ISSN: 0001-6667
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



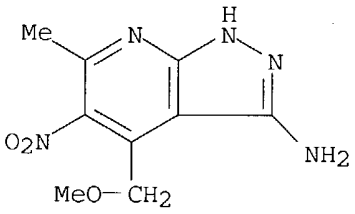
I

AB Several 3-aminopyrazolo[3,4-b]pyridine (I) derivs. were synthesized and tested for inhibitory activities against a variety of bacterial species. The breadth of the antibacterial spectra was influenced by the presence and location of methoxymethyl, Me, 1-hydroxyethyl, and(or) nitro groups as substituents on the I mol. Although either 5-nitro or 1-hydroxyethyl groups broadened the antibacterial spectrum of the parent compound, they seemed to have a somewhat antagonistic effect when present simultaneously.

IT **59225-09-1P 66543-63-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and bactericidal activity of)

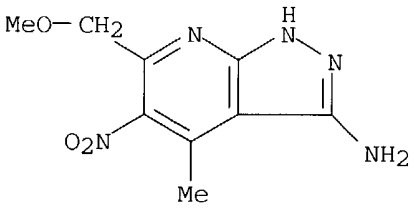
RN 59225-09-1 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 4-(methoxymethyl)-6-methyl-5-nitro- (9CI) (CA INDEX NAME)



RN 66543-63-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 6-(methoxymethyl)-4-methyl-5-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 41 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:154344 CAPLUS

DOCUMENT NUMBER: 88:154344

TITLE: Methine dyes

INVENTOR(S): Sugiyama, Masatoshi; Sawaguchi, Hiroshi; Mitsui, Akio

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

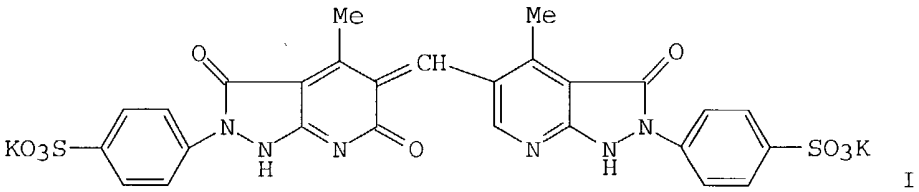
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

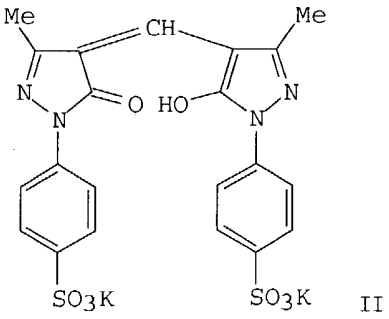
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52135335	A2	19771112	JP 1976-52994	19760510
JP 58035544	B4	19830803		
GB 1551653	A	19790830	GB 1977-18769	19770504
US 4102688	A	19780725	US 1977-795041	19770509
DE 2720982	A1	19771124	DE 1977-2720982	19770510

PRIORITY APPLN. INFO.: JP 1976-52994 19760510
GI



I



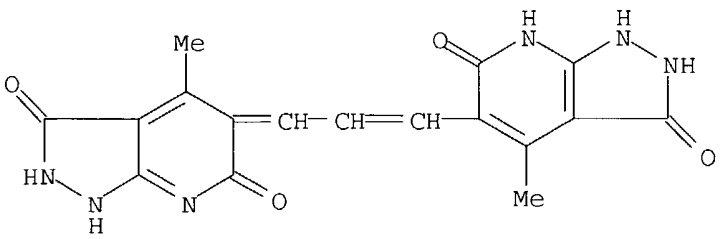
II

AB Pyrazolo[3,4-b]pyridine ring-containing methine dyes with γmax at longer wavelength and good bleachability by sulfite in photog. developers were prepared For example, 3-amino-1-(4-sulfophenyl)pyrazolin-5-one triethylamine salt [63479-47-0] was treated with Et acetoacetate [141-97-9] in refluxing AcOH to give 4-methyl-2-(4-sulfophenyl)pyrazolo[3,4-b]pyridine-3,6-dione triethylamine salt [65563-44-2] which was condensed with orthoformate to give I [65620-37-3] with γmax (H2O) 600 nm, compared with 430 nm for II.

IT **65606-99-7P**
RL: PREP (Preparation)
(photog. sensitizers, manufacture of)

RN 65606-99-7 CAPLUS

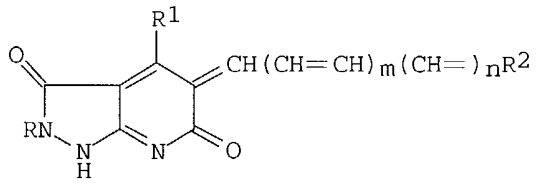
CN 1H-Pyrazolo[3,4-b]pyridine-3,6(2H,5H)-dione, 4-methyl-5-[3-(2,3,6,7-tetrahydro-3,6-dioxo-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-propenylidene]-(9CI) (CA INDEX NAME)



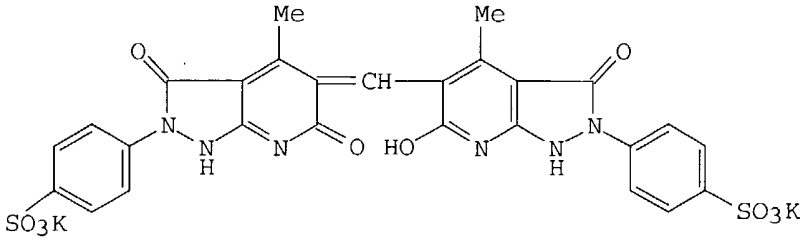
L4 ANSWER 42 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:106766 CAPLUS
DOCUMENT NUMBER: 88:106766
TITLE: Methine dyes and light-sensitive photographic material containing them
INVENTOR(S): Sugiyama, Masatoshi; Sawaguchi, Hiroshi; Mitsui, Akio
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Ger. Offen., 82 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2720982	A1	19771124	DE 1977-2720982	19770510
JP 52135335	A2	19771112	JP 1976-52994	19760510
JP 58035544	B4	19830803		
PRIORITY APPLN. INFO.:			JP 1976-52994	19760510

GI



I



II

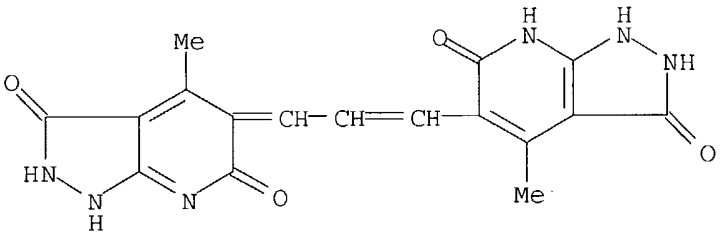
AB Methine dyes (I; R = alkyl, aralkyl, aryl, 5- or 6-membered-ring heterocyclic residue, H; R1 = alkyl, aralkyl, aryl, 5- or 6-membered-ring heterocyclic residue, CO2H, alkoxycarbonyl, aryloxycarbonyl, NH2; R2 = heterocyclic residue, aniline derivative; m, n = 0, 1) are prepared and used in photog. emulsions; they absorb at long λ and are easily and irreversibly decolorized in the developing process. Thus, a mixture of 3-amino-1-(4-sulfophenyl)pyrazolin-5-one triethylamine salt [63479-47-0] and Et acetoacetate [141-97-9] in HOAc was heated to give

4-methyl-2-(4-sulfophenyl)pyrazolo[3,4-b]pyridine-3,6-dione triethylamine salt [65563-44-2] which was treated with Et orthoformate followed by KI to give II [65620-37-3], λmax 600 nm (H2O), 610 nm (MeOH).

IT **65606-99-7P**
RL: IMF (Industrial manufacture); PRP (Properties); PREP (Preparation)
(preparation and spectrum of)

RN 65606-99-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-3,6(2H,5H)-dione, 4-methyl-5-[3-(2,3,6,7-tetrahydro-3,6-dioxo-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-propenylidene]-(9CI) (CA INDEX NAME)



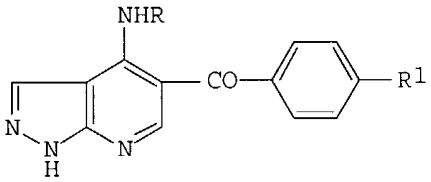
L4 ANSWER 43 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:439477 CAPLUS
DOCUMENT NUMBER: 87:39477
TITLE: Derivatives of pyrazolopyridine
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: Brit., 10 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1460059	A	19761231	GB 1974-19831	19740506

PRIORITY APPLN. INFO.: GB 1974-19831 19740506

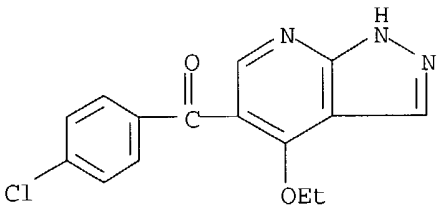
GI



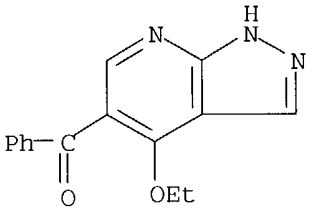
I

AB The title compds. I (R = EtCHMe, R1 = H, Cl, Me, MeO; R = cyclopropyl, Me2CH, R1 = H) were prepared from 1-(2-furyl)methyl-5-aminopyrazole by successive treatment with 4-R1C6H4COC(:CHOEt)CO2Et, EtI, SeO2, and RNH2. I have high antiinflammatory activity and low diuretic activity. Antiinflammatory ID50 values for I, assessed by the carrageenan edema assay in rats, are 14.5-65 mg/kg.

IT **57259-59-3P 57259-60-6P 57259-61-7P**
57259-62-8P 57259-65-1P 57259-66-2P
57259-67-3P
RL: SPN (Synthetic preparation); PREP (Preparation)



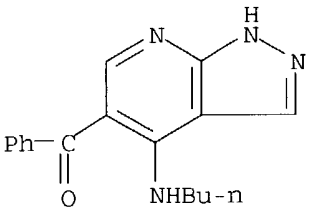
IT **57259-58-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in benzoyl(alkylamino)pyrazolopyridine preparation)
RN 57259-58-2 CAPLUS
CN Methanone, (4-ethoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)phenyl- (9CI) (CA INDEX NAME)



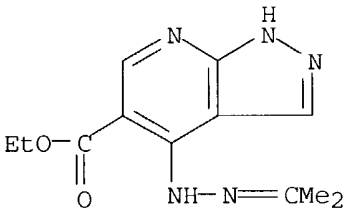
L4 ANSWER 44 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:405963 CAPLUS
DOCUMENT NUMBER: 87:5963
TITLE: Pyrazolo [3,4-b]pyridines
INVENTOR(S): Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: Can., 24 pp.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1003419	A1	19770111	CA 1972-154705	19721024
NL 7215325	A	19730525	NL 1972-15325	19721113
CH 553799	A	19740913	CH 1972-17017	19721122
JP 48057995	A2	19730814	JP 1972-117869	19721124
PRIORITY APPLN. INFO.:			US 1971-201569	19711123

GI



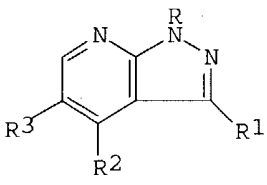
RN 62899-77-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(1-methylethylidene)hydrazino]-, ethyl ester (9CI) (CA INDEX NAME)



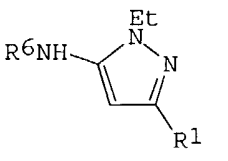
L4 ANSWER 45 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:89808 CAPLUS
DOCUMENT NUMBER: 86:89808
TITLE: Alcohol derivatives of pyrazolo[3,4-b]pyridines
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 11 pp. Division of U.S. 3,928,368.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3983128	A	19760928	US 1975-618871	19751002
US 3928368	A	19751223	US 1973-423960	19731212

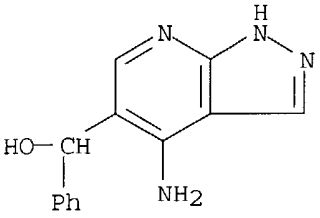
PRIORITY APPLN. INFO.: US 1973-423960 19731212
GI



I, R^3=CR^4R^5OH
IV, R^3=CO_2Et



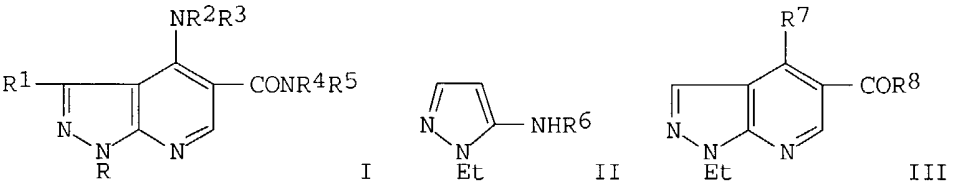
II, R^6=H
III, R^6=CH:$C(CO_2Et)_2$



L4 ANSWER 46 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:55430 CAPLUS
DOCUMENT NUMBER: 86:55430
TITLE: Amino derivatives of pyrazolopyridine carboxamides
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3979399	A	19760907	US 1975-561743	19750325
US 3840546	A	19741008	US 1972-306967	19721115
PRIORITY APPLN. INFO.:			US 1972-306967	19721115
			US 1974-489636	19740718

GI

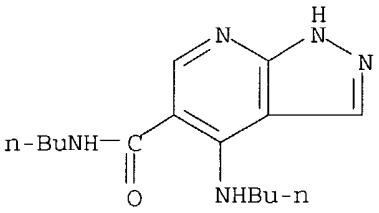


AB Central nervous system depressant, analgesic, and antihypertensive (no data) pyrazolopyridinecarboxamides I (R = Et, R1 = H, Me, R2 = H, Bu, R3 = H, R2R3 = (CH2)4-5, R4 = Bu, CH2CH(OEt)2, H, R5 = H, R4R5 = (CH2)4-5; R = R1 = R3 = H, R2 = Bu, R4 = Bu, R5 = H, R4 = R5 = Et) were prepared Thus, II (R6 = H) was treated with EtOCH:C(CO2Et)2, II [R6 = CH:C(CO2Et)2] cyclized, III (R7 = OH, R8 = OEt) saponified and chlorinated, and III (R7 = R8 = Cl) aminated to give III (R7 = R8 = NHBu).

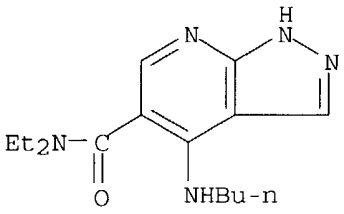
IT **41094-96-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)

RN 41094-96-6 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

(preparation of)
RN 52833-14-4 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-butyl-4-(butylamino)- (9CI) (CA INDEX NAME)



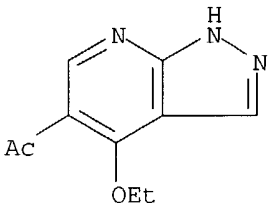
RN 52872-01-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(butylamino)-N,N-diethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:43702 CAPLUS
DOCUMENT NUMBER: 86:43702
TITLE: 1-Unsubstituted pyrazolo[3,4-b]pyridine ketones
INVENTOR(S): Denzel, Theodor; Schneider, Hans Joachim; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3987051	A	19761019	US 1975-568755	19750416
CA 1057758	A1	19790703	CA 1976-248560	19760323
GB 1530027	A	19781025	GB 1976-13524	19760402
DE 2615586	A1	19761028	DE 1976-2615586	19760409
HU 174844	P	19800328	HU 1976-SU912	19760413
CH 609693	A	19790315	CH 1976-4865	19760415
JP 51128997	A2	19761110	JP 1976-44160	19760416
FR 2307812	A1	19761112	FR 1976-11301	19760416
FR 2307812	B1	19790831		
PRIORITY APPLN. INFO.:			US 1975-568755	19750416

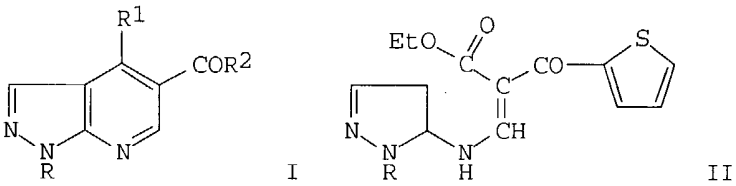
GI



L4 ANSWER 48 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:29805 CAPLUS
DOCUMENT NUMBER: 86:29805
TITLE: Pyrazolopyridine ketones
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3985757	A	19761012	US 1975-614392	19750917
CA 1085849	A1	19800916	CA 1976-260518	19760903
DE 2641747	A1	19770324	DE 1976-2641747	19760916
FR 2324301	A1	19770415	FR 1976-27862	19760916
FR 2324301	B1	19801107		
JP 52048689	A2	19770418	JP 1976-112375	19760916

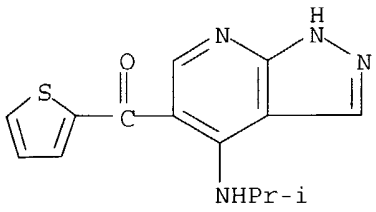
PRIORITY APPLN. INFO.: US 1975-614392 19750917
GI



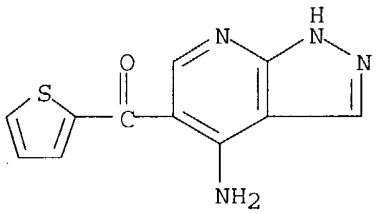
I II

AB The title compds. I (R = H, 2-furanylmethyl; R1 = HO, EtO, NH2, cyclopropylamino, etc.; R2 = 3-pyridyl, 2-thienyl), antiinflammatory agents and tranquilizers, were prepared by reaction of a 5-aminopyrazole and an alkoxymethyleneacetoacetic acid followed by cyclization of the product. Thus, 5-amino-1-(2-furanylmethyl)pyrazole and ethoxymethylene-2-thiophenepropanoic acid were heated 1 hr at 130° to give 88% II (R = 2-furanylmethyl), which was cyclized in 87% yield by heating in H2O at 270° for 3 min to give I (R = 2-furanylmethyl, R1 = HO, R2 = 2-thienyl) (III). I (R = 2-furanylmethyl, R1 = EtO, R3 = 2-thienyl) was oxidized with SeO2 to give 75% I (R = , R1 = EtO, R3 = 2-thienyl), which was treated with cyclopropylamine, EtMeCH2NH2, Me2CHNH2 and NH3 to give the resp. I (R1 = cyclopropylamino, EtMeCH2NH, Me2CHNH, NH2).

IT **61352-36-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)



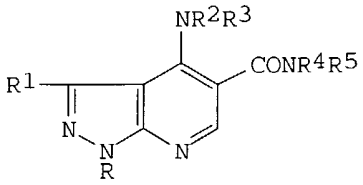
RN 61352-40-7 CAPLUS
CN Methanone, (4-amino-1H-pyrazolo[3,4-b]pyridin-5-yl)-2-thienyl- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:577414 CAPLUS
DOCUMENT NUMBER: 85:177414
TITLE: Amino derivatives of pyrazolopyridine carboxamides
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

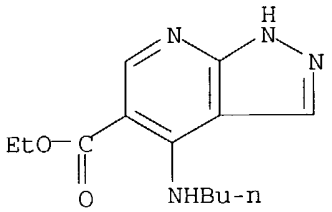
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3966746	A	19760629	US 1975-583373	19750604
US 3840546	A	19741008	US 1972-306967	19721115
PRIORITY APPLN. INFO.:			US 1972-306967	19721115
			US 1974-489636	19740718

GI

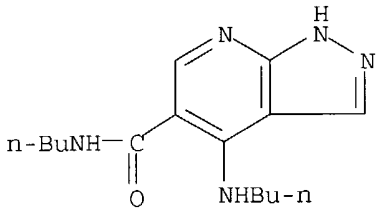


I

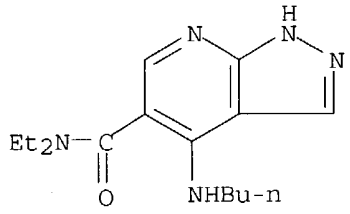
AB Pyrazolopyridinecarboxamides I (R = Et, H; R1 = H, Me; NR2R3 = NHBu, piperidino, pyrrolidino, NH2; NR4R5 = NHBu, piperidino, pyrrolidino, NHCH2CH(OEt)2, NH2, NEt2) were prepared for use as central nervous system depressants, tranquilizers, analgesics, and antihypertensives (no data).



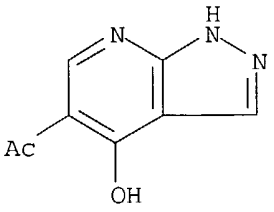
IT **52833-14-4P 52872-01-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52833-14-4 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-butyl-4-(butylamino)- (9CI)
(CA INDEX NAME)



RN 52872-01-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(butylamino)-N,N-diethyl-
(9CI) (CA INDEX NAME)



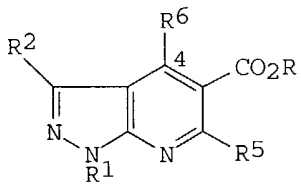
L4 ANSWER 50 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:577306 CAPLUS
DOCUMENT NUMBER: 85:177306
TITLE: Synthesis of 1H-pyrazolo[3,4-b]pyridine 5-ketones
AUTHOR(S): Denzel, Theodor; Hoehn, Hans
CORPORATE SOURCE: Chem. Fabr. Von Heyden G.m.b.H., Regensburg, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1976), 309(6), 486-503
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 85:177306
GI



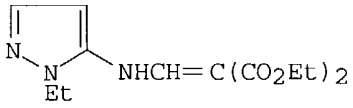
L4 ANSWER 51 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:421450 CAPLUS
DOCUMENT NUMBER: 85:21450
TITLE: 1H-Pyrazolo[3,4]pyridine-5-carboxylic acids and esters
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 13 pp. Division of U.S. 3,755,340.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3925388	A	19751209	US 1973-368561	19730611
US 3755340	A	19730828	US 1971-169536	19710805
US 3833594	A	19740903	US 1973-368562	19730611
US 3856799	A	19741224	US 1973-368802	19730611
CA 997352	A2	19760921	CA 1974-211343	19741015
PRIORITY APPLN. INFO.:			US 1970-41568	19700528
			US 1971-169536	19710805
			CA 1972-147053	19720713

GI

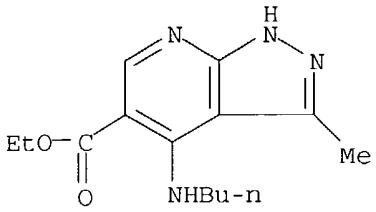


I, $R^6=NR^3R^4$
III, $R^6=R^7$

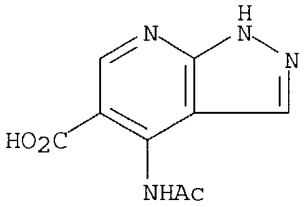


II

AB Pyrazolopyridinecarboxylic acids and esters I [R = H, Et, (CH2)8Me; R1 = alkyl, PhCH2, Ph, H, 4-ClC6H4CO; R2 = H, Me, Ph; R3 = H, alkyl, 3-F3CC6H4, (CH2)nNEt2 (n = 2, 3), Ph(CH2)n (n = 2, 3), 2,3-xylyl, 2-HO2CC6H4, Ac, 4-ClC6H4CO, Ph, tosyl; R4 = H, Et, CH2CH2OH; NR3R4 = hexahydromethyldiazepino, dimethylpyrazolyl, morpholino, 1-pyrrolidinyl, piperazino and 4-Me derivative, piperidino, dimethylpyrazino; R5 = H, Me] (62 compds.) useful as tranquilizers, inflammation inhibitors, analgesics, and central nervous system depressants (no data), were prepared, e.g., by stirring 1-ethyl-5-aminopyrazole with EtOCH:C(CO2Et) 2 hr at 120° (84% yield), cyclizing the malonate II by heating at 235-50° for 1-2 hr (92% yield), refluxing the hydroxypyrazolopyridine III (R7 = OH, R = R1 = Et, R2 = H) with POCl3 4 hr, and treating the chloro compound III (R7 = Cl, other R's as above) with BuNH2 to give 91.5% I (R = R1 = Et, R2 = R4 = R5 = H, R3 = Bu). Aminolysis of III (R7 = EtO) also gave I. I (R = Et, R1 = Me, R2 = R4 = R5 = H, R3 = Bu) (IV) was prepared in 7 steps from



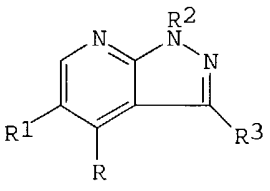
RN 59444-16-5 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(acetylamino)- (9CI) (CA INDEX NAME)



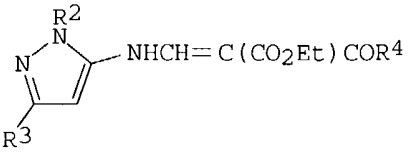
L4 ANSWER 52 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:164773 CAPLUS
DOCUMENT NUMBER: 84:164773
TITLE: Alcohol derivatives of pyrazolo[3,4-b]pyridines
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3928368	A	19751223	US 1973-423960	19731212
US 3983128	A	19760928	US 1975-618871	19751002

PRIORITY APPLN. INFO.: US 1973-423960 19731212
GI



I

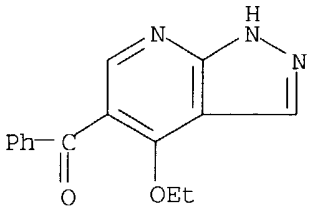


II

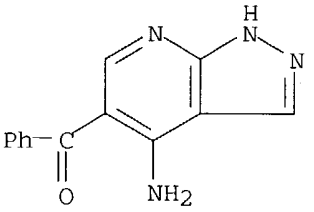
AB Pyrazolopyridines I (R = Cl, EtO, BuNH, NH2; R1 = CH2OH, CHPhOH, CPh2OH; R2 = Et, H; R3 = H, Me) were prepared by cyclization of II (R4 = OEt, Ph) followed by substitution and reduction of the I (R = OH, R1 = CO2Et, PhCO). Thus, 1-ethyl-5-aminopyrazole was treated with EtOCH:C(CO2Et)2 and the II (R2 = Et, R3 = H, R4 = EtO) were cyclized to give I (R = OH, R1 = EtO2C, R2 = Et, R3 = H) which was treated with POCl3 and the product reduced to

give I (R = Cl, R1 = CH2OH, R2 = Et, R3 = H). I were antiinflammatory at 5-25 mg/kg per day, central nervous system depressants at 2-15 mg/kg per day, and antiasthmatic at 10-50 mg/kg.

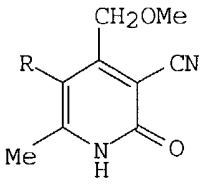
IT **57259-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with ammonia)
RN 57259-58-2 CAPLUS
CN Methanone, (4-ethoxy-1H-pyrazolo[3,4-b]pyridin-5-yl)phenyl- (9CI) (CA INDEX NAME)



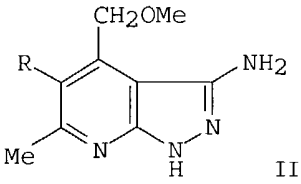
IT **59060-27-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 59060-27-4 CAPLUS
CN Methanone, (4-amino-1H-pyrazolo[3,4-b]pyridin-5-yl)phenyl- (9CI) (CA INDEX NAME)



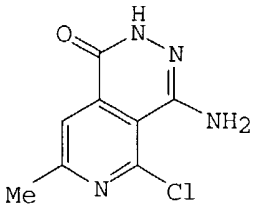
L4 ANSWER 53 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:121754 CAPLUS
DOCUMENT NUMBER: 84:121754
TITLE: Synthesis of 1H-pyrazolo[3,4-b]pyridine and related compounds
AUTHOR(S): Yoshida, Kei; Otomasu, Hirotaka
CORPORATE SOURCE: Hoshi Coll. Pharm., Tokyo, Japan
SOURCE: Yakugaku Zasshi (1976), 96(1), 33-6
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
OTHER SOURCE(S): CASREACT 84:121754
GI



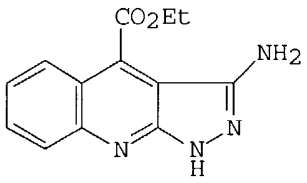
I



II



III



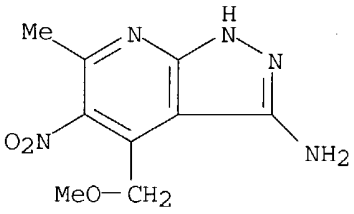
V

AB 2-Chloro-3-cyanopyridines I (R = H, NO2), reacted with H2NNH2.H2O to give the 1H-pyrazolo[3,4-b]pyridine II. The reaction of Me 2-chloro-3-cyano-6-methylpyridine-4-carboxylate with H2NNH2.H2O gave pyrido[3,4-d]pyridazine III. By refluxing with EtOH containing a small amount of H2SO4, 3-(ethoxycarbonylcyanomethylene)indolin-2(1H)-one was converted into Et 3-cyano-2-oxo-1,2-dihydroquinoline-4-carboxylate (IV). The reaction of H2NNH2.H2O with the 2-chloro derivative of IV gave V.

IT **59225-09-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 59225-09-1 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 4-(methoxymethyl)-6-methyl-5-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 54 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:606257 CAPLUS

DOCUMENT NUMBER: 83:206257

TITLE: Derivatives of sulfonyl-, sulfinyl- and sulfenyl-1H-pyrazolo [3,4-b]-pyridines

INVENTOR(S): Denzel, Theodor; Hoehn, Hans

PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., Fed. Rep. Ger.

SOURCE: U.S., 8 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

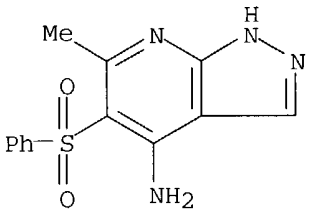
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3903096	A	19750902	US 1974-456118	19740329

CN 1H-Pyrazolo[3,4-b]pyridin-4-amine, 6-methyl-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

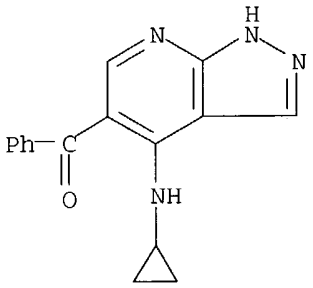


L4 ANSWER 55 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:593306 CAPLUS
DOCUMENT NUMBER: 83:193306
TITLE: Amine derivatives of pyrazolopyridine ketones
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: Belg., 20 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 815200	A1	19741118	BE 1974-144459	19740517
CA 997351	A1	19760921	CA 1974-198287	19740426
AU 7468615	A1	19751106	AU 1974-68615	19740506
DE 2423060	A1	19741205	DE 1974-2423060	19740513
CH 594666	A	19780113	CH 1974-6596	19740514
NO 140062	B	19790319	NO 1974-1752	19740514
NO 140062	C	19790704		
FI 7401502	A	19741118	FI 1974-1502	19740515
FI 55660	C	19790910		
FI 55660	B	19790531		
NL 7406559	A	19741119	NL 1974-6559	19740516
DD 111379	C	19750205	DD 1974-178557	19740516
SU 501675	D	19760130	SU 1974-2025803	19740516
HU 168565	P	19760528	HU 1974-SU862	19740516
ES 426359	A1	19760701	ES 1974-426359	19740516
PL 91641	P	19770331	PL 1974-171108	19740516
SE 395150	B	19770801	SE 1974-6565	19740516
FR 2229415	A1	19741213	FR 1974-17292	19740517
JP 50018495	A2	19750226	JP 1974-56057	19740517
JP 57014674	B4	19820325		
AT 7404137	A	19760815	AT 1974-4137	19740517
AT 336015	B	19770412		

PRIORITY APPLN. INFO.: US 1973-361120 19730517
GI For diagram(s), see printed CA Issue.
AB Pyrazolopyridines I (R = NHCHMeEt, cyclopropylamino, NHCHMe2, R1 = H, Cl, Me, OMe) were prepared by treating the pyrazole II (R2 = NH2) with EtOCH:C(COC6H4R1-4)CO2Et, cyclizing II [R2 = N:C(COC6H4R1-4)CO2Et], ethylation and cleavage of the protective group, and amination of I (R = OEt). I had antiinflammatory ED50 of 14.5-65 mg/kg in the carrageenin edema test with negligible diuretic side-effects.
IT **57259-58-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

monohydrochloride (9CI) (CA INDEX NAME)

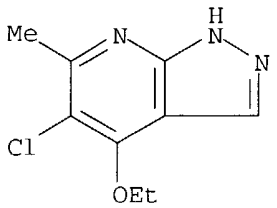


● HCl

L4 ANSWER 56 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:57685 CAPLUS
DOCUMENT NUMBER: 82:57685
TITLE: Hydroxy or alkoxy derivatives of 5-halo-1H-pyrazolo[3,4-h]-pyridines
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3847929	A	19741112	US 1973-342020	19730316
PRIORITY APPLN. INFO.:			US 1973-342020	19730316

GI For diagram(s), see printed CA Issue.
AB Tranquilizing and antiinflammatory (no data) pyrazolopyridines I [R = Et, R1 = H, R2 = Et, (CH2)3NMe2, R3 = Cl; R = R1 = H, R2 = Et, R3 = Cl; R = CH2Ph, R1 = R2 = H, R3 = Br; R = Et, R1 = Me, R2 = H, R3 = Br] were prepared Thus, the aminopyrazole II (R4 = H) was treated with MeCOCHClCO2Et and II (R = CMe:CClCO2Et) cyclized to I (R = Et, R1 = R2 = H, R3 = Cl), ethylated and treated with Cl(CH2)3NMe2.
IT **55139-27-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 55139-27-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine, 5-chloro-4-ethoxy-6-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 57 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:43413 CAPLUS
DOCUMENT NUMBER: 82:43413
TITLE: Amino derivatives of pyrazolopyridine carboxamides
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

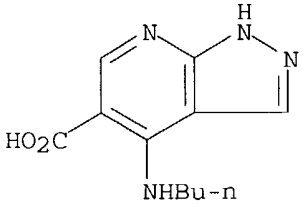
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3840546	A	19741008	US 1972-306967	19721115
CA 1041504	A1	19781031	CA 1973-184671	19731030
GB 1447672	A	19760825	GB 1973-50984	19731102
FR 2206102	A1	19740607	FR 1973-40705	19731115
JP 49080094	A2	19740802	JP 1973-129106	19731115
JP 57014349	B4	19820324		
US 3979399	A	19760907	US 1975-561743	19750325
US 3966746	A	19760629	US 1975-583373	19750604
PRIORITY APPLN. INFO.:			US 1972-306967	19721115
			US 1974-489636	19740718

GI For diagram(s), see printed CA Issue.

AB Central nervous system depressant, tranquilizing, analgesic, and hypotensive (no data) pyrazolopyridines I [R = Et, H, R1 = R3 = R5 = H, R2 = R4 = Bu; R = Et, R1 = H, Me, R2 = H, Bu, R3 = H, R2R3 = (CH2)4, (CH2)5, R4 = CH2CH(OEt)2, H, R5 = H, R4R5 = (CH2)4, (CH2)5; R = R1 = R3 = H, R2 = Bu, R4 = R5 = Et] were prepared Thus, 1-ethyl-5-aminopyrazole was treated with EtOCH:C(CO2Et)2 and cyclized to II (R6 = OH, R7 = CO2Et), which was hydrolyzed to the acid, chlorinated to II (R6 = Cl, R7 = CO2Et), and aminated to I (R = Et, R1 = R3 = R5 = H, R2 = R4 = Bu).

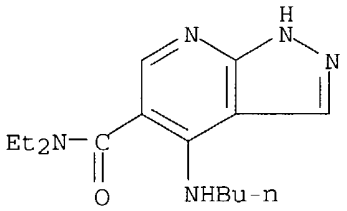
IT **41094-82-0P 41094-93-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)

RN 41094-82-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)- (9CI) (CA INDEX NAME)



RN 41094-93-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

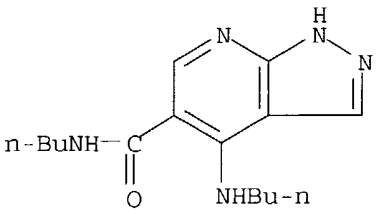
RN 52872-01-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(butylamino)-N,N-diethyl- (9CI) (CA INDEX NAME)



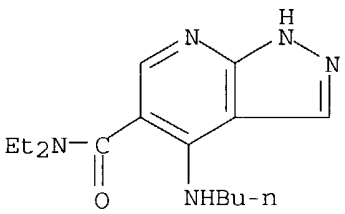
L4 ANSWER 58 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:437544 CAPLUS
DOCUMENT NUMBER: 81:37544
TITLE: 4-Amino-5-carbamoyl-1H-pyrazolo[3,4-b]pyridines
INVENTOR(S): Hoehn, Hans; Denazel, Theodor
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2356684	A1	19740516	DE 1973-2356684	19731113
PRIORITY APPLN. INFO.:			US 1972-306697	19721115

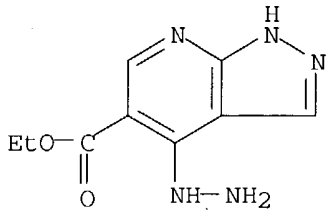
GI For diagram(s), see printed CA Issue.
AB Ten pyrazolopyridines [I, R = H or Et; R1 = H or Me; R2 = NH2, NHBu, piperidino or 1-pyrrolidinyl; R3 = BuNH, (EtO)2CHCH2NH, H2N, Et2N, piperidino, or 1-pyrrolidinyl] and (or) their hydrochlorides were prepared and useful as analgesics, ataraxics, and hypotensives. Thus, I (R = Et, R1 = H, R2 = R3 = Cl) was treated with BuNH2 in C6H6 at room temperature to give
82% I (R = Et, R1 = H, R2 = R3 = NHBu). Reaction of I (R = Et, R1 = Me, R2 = R3 = Cl) with (EtO)2CHCH2NH2 in Et2O gave 73% I [R = Et, R1 = Me, R2 = Cl, R3 = (EtO)2CHCH2NH], which was autoclaved with NH3 in EtOH at ≤130° to give 80.6% I [R = Et, R1 = Me, R2 = NH2, R3 = (EtO)2CHCH2NH]. I (R = Et, R1 = H, R2 = Cl, R3 = EtO) reacted with BuNH2 to give 91.5% I (R = Et, R1 = H, R2 = NHBu, R3 = EtO), which on successive hydrolysis and treatment with SOCl2 gave I (R = Et, R1 = H, R2 = NHBu, R3 = Cl) (II). II reacted with pyrrolidine in CHCl3 in the presence of Et3N at room temperature to give 70.3% I (R = Et, R1 = H, R2 = NHBu, R3 = pyrrolidinyl)
IT **41094-77-3P 41094-82-0P 41094-93-3P**
41094-96-6P 52833-14-4P 52872-01-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41094-77-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)-, ethyl ester (9CI) (CA INDEX NAME)



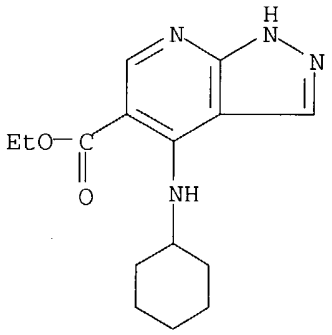
RN 52872-01-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 4-(butylamino)-N,N-diethyl- (9CI) (CA INDEX NAME)



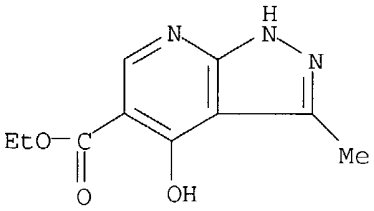
L4 ANSWER 59 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:403828 CAPLUS
DOCUMENT NUMBER: 81:3828
TITLE: Synthesis of unknown 1-unsubstituted 1H-pyrazolo[3,4-b]pyridine-5-carboxylates
AUTHOR(S): Denzel, T.
CORPORATE SOURCE: Chem. Fabrik von Heyden A.-G., Regensburg, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1974), 307(3), 177-86
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 81:3828
GI For diagram(s), see printed CA Issue.
AB The pyrazolopyridines I (R = H or Et; R1 = NHR3, OH, or OEt; R2 = H or Me; Re3 = Bu, cyclohexyl, Ph, or NH2) were prepared either with starting from II (R1 = NHR3) by successive reaction with POCl3 and N2H4 or from III (R4 = 4-pyridylmethyl or furfuryl) with EtOCH:C(CO2Et)2 and ring closure followed by oxidative (SeO2) cleavage of R4 and optionally converting the ethoxy into the alkylamino group.
IT **41094-77-3P 41094-80-8P 41094-81-9P 41094-82-0P 41094-93-3P 41094-96-6P 41150-30-5P 49547-04-8P 49608-29-9P 52744-82-8P 52744-83-9P**
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 41094-77-3 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 52744-82-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(cyclohexylamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 52744-83-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-hydroxy-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 60 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:108514 CAPLUS
DOCUMENT NUMBER: 80:108514
TITLE: Dipyrazolo[3,4-b:3'4'-d]pyridine derivatives and their salts
INVENTOR(S): Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2333603	A1	19740131	DE 1973-2333603	19730702

US 3787430	A	19740122	US 1972-271477	19720713
FR 2192831	A1	19740215	FR 1973-25831	19730713
JP 49051300	A2	19740518	JP 1973-79725	19730713

PRIORITY APPLN. INFO.: US 1972-271477 19720713

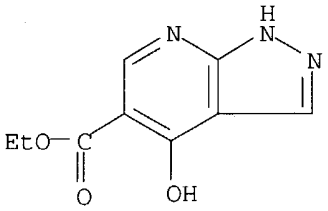
GI For diagram(s), see printed CA Issue.

AB Tranquilizing and antiasthmatic dipyrazolopyridines I (R = H, Me, Et, CH2Ph, CH2CH2CHMe2, (CH2)3NMe2, COC6H4Cl-p; R1 = Ph, Me, NH2, OEt, OMe, OH, OCH2CH2CHMe2; R2 = Et, CH2Ph) were prepared Thus, 5-amino-1-ethylpyrazole was cyclized with EtOCH:C(COPh)CO2Et to give 5-benzoyl-1-ethyl-4-hydroxy-1H-pyrazolo[3,4-b]pyridine, which was chlorinated and cyclized with N2H4 to I (R = H, R1 = Ph, R2 = Et). Treatment with Cl(CH2)3NMe2 gave I (R = (CH2)3NMe2, R1 = Ph, R2 = Et).

IT **41094-96-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 41094-96-6 CAPLUS

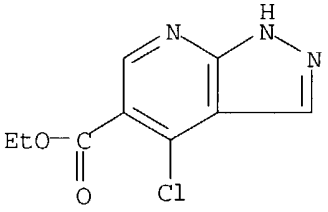
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)



IT **50476-72-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hydrazine)

RN 50476-72-7 CAPLUS

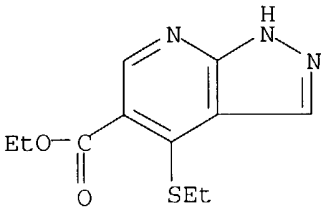
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-chloro-, ethyl ester (9CI) (CA INDEX NAME)



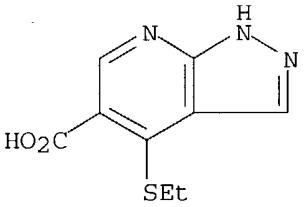
L4 ANSWER 61 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1973:515578 CAPLUS
DOCUMENT NUMBER:	79:115578
TITLE:	1H-Pyrazolo[3,4-b]pyridines
INVENTOR(S):	Hoehn, Hans; Denzel, Theodor
PATENT ASSIGNEE(S):	Chemische Fabrik von Heyden G.m.b.H.
SOURCE:	Ger. Offen., 25 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

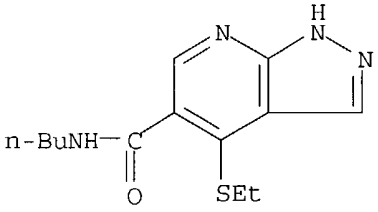
PATENT INFORMATION:



RN 50476-74-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(ethylthio)- (9CI) (CA INDEX NAME)

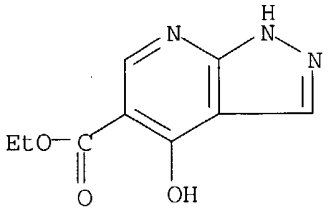


RN 50476-75-0 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, N-butyl-4-(ethylthio)- (9CI) (CA INDEX NAME)

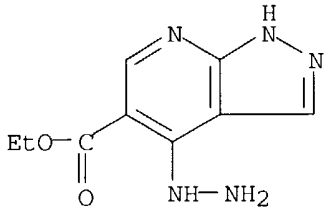


L4 ANSWER 62 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:492216 CAPLUS
DOCUMENT NUMBER: 79:92216
TITLE: Antimicrobial and tranquilizing pyrazolopyridines
INVENTOR(S): Hoehn, Hans; Chasin, Mark
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H.
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

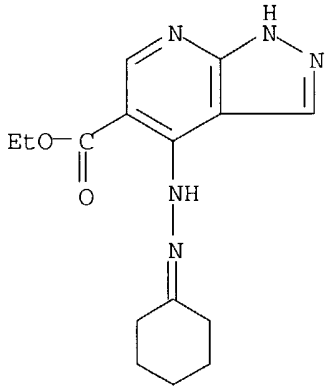
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2258687	A1	19730628	DE 1972-2258687	19721130
US 3785286	A	19740115	US 1971-175224	19710826
US 3761487	A	19730925	US 1971-208409	19711215
US 3773777	A	19731120	US 1971-208449	19711215
GB 1402153	A	19750806	GB 1972-53774	19721121
CA 989407	A1	19760518	CA 1972-157096	19721121
NL 7216982	A	19730619	NL 1972-16982	19721214
CH 592090	A	19771014	CH 1972-18218	19721214



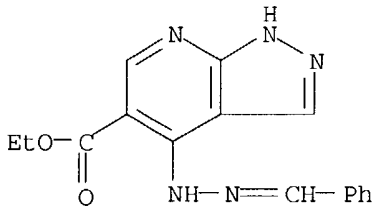
RN 49608-29-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-hydrazino-, ethyl ester (9CI) (CA INDEX NAME)



RN 49608-30-2 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(cyclohexylidenehydrazino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 49608-37-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-[(phenylmethylene)hydrazino]-, ethyl ester (9CI) (CA INDEX NAME)

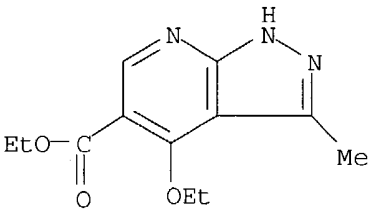


L4 ANSWER 63 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:492211 CAPLUS
DOCUMENT NUMBER: 79:92211
TITLE: Tranquilizing and antiasthmatic pyrazolo[3,4-b]pyridine-5-carbonitriles
INVENTOR(S): Denzel, Theodor; Hoehn, Hans
PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H.
SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2261444	A1	19730705	DE 1972-2261444	19721215
US 3804843	A	19740416	US 1972-309291	19721124
GB 1419524	A	19751231	GB 1972-57480	19721213
JP 48068596	A2	19730918	JP 1972-128743	19721221
FR 2164866	A1	19730803	FR 1972-45888	19721222
CH 557369	A	19741231	CH 1972-18803	19721222
CA 996560	A1	19760907	CA 1972-159849	19721222
US 3850940	A	19741126	US 1973-400277	19730924
PRIORITY APPLN. INFO.:			US 1971-211675	19711223
			US 1972-309291	19721124

GI For diagram(s), see printed CA Issue.
AB Two pyrazolopyridines I (R = H or Me) were prepared by reaction of 1-ethyl-5-aminopyrazole with EtOCH:C(CO2Et)2 or MeCOCH(CO2Et)2, followed by cyclization, O-alkylation of the esters II, saponification, amidation, reaction of the amide with SOCl2, and reaction of the nitrile with BuNH2. I were used as tranquilizers and antiasthmatics.
IT **49547-04-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 49547-04-8 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-ethoxy-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 64 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:467823 CAPLUS
DOCUMENT NUMBER: 79:67823
TITLE: Aminopyridinopyrazoles as azo dye intermediates
INVENTOR(S): Dehnert, Johannes; Lamm, Gunther
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik AG
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2160780	A1	19730614	DE 1971-2160780	19711208

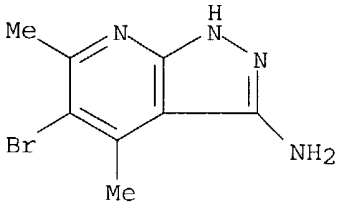
PRIORITY APPLN. INFO.: DE 1971-2160780 19711208

AB The basic azo dye intermediates I (R = H or Me; R1, R3 = Me or Ph; R2 = H, Br, or NO2) were prepared Thus, heating 2-chloro-3-cyano-4,6-dimethylpyridine and N2H4.H2O in EtOH containing 50% NaOH gave the dye intermediate (I, R = R2 = H, R1 = R3 = Me) [41601-44-9]. Four other I were similarly prepared

IT **42951-65-5P 42951-67-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of)

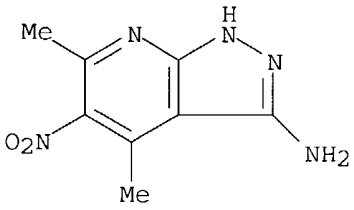
RN 42951-65-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 5-bromo-4,6-dimethyl- (9CI) (CA INDEX NAME)



RN 42951-67-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine, 4,6-dimethyl-5-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 65 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:136281 CAPLUS

DOCUMENT NUMBER: 78:136281

TITLE: 4-(Substituted amino)-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acids, esters, and salts

INVENTOR(S): Hoehn, Hans; Denzel, Theodor

PATENT ASSIGNEE(S): Chemische Fabrik von Heyden G.m.b.H.

SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2237765	A1	19730208	DE 1972-2237765	19720801
US 3755340	A	19730828	US 1971-169536	19710805
ZA 7204745	A	19730425	ZA 1972-4745	19720711
CA 997350	A1	19760921	CA 1972-147053	19720713

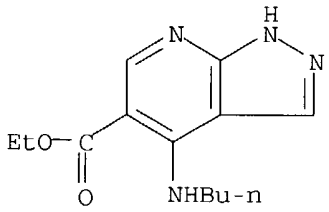
AU 7245146	A1	19740207	AU 1972-45146	19720731
GB 1402172	A	19750806	GB 1972-36155	19720802
BE 787249	A1	19730205	BE 1972-120699	19720804
NL 7210701	A	19730207	NL 1972-10701	19720804
NL 176365	B	19841101		
NL 176365	C	19850401		
FR 2150737	A1	19730413	FR 1972-28285	19720804
HU 164519	P	19740228	HU 1972-SU764	19720804
CH 549590	A	19740531	CH 1974-1797	19720804
CH 553798	A	19740913	CH 1972-11610	19720804
CH 553800	A	19740913	CH 1974-1796	19720804
ES 405553	A1	19750701	ES 1972-405553	19720804
JP 48026796	A2	19730409	JP 1972-78728	19720805
JP 56037237	B4	19810829		
ES 413941	A1	19760601	ES 1973-413941	19730419
CA 997352	A2	19760921	CA 1974-211343	19741015
JP 56022784	A2	19810303	JP 1980-83397	19800619
JP 57045440	B4	19820928		
JP 56022768	A2	19810303	JP 1980-83398	19800619
JP 59007703	B4	19840220		
PRIORITY APPLN. INFO.:			US 1971-169536	19710805
			US 1970-41568	19700528
			CA 1972-147053	19720713

AB Pyrazolopyridinecarboxylates I (R = H, Et; R1 = H, Me, Et, Pr, Bu, p-ClC6H4CO; R2 = H, Me; R3 = Bu, Et, Ph; R4 = H, Et; R5 = H, Me) were prepared Thus, 1-(2-furylmethyl)-5-aminopyrazole was treated with EtOCH:C(CO2Et)2 and cyclized to Et 4-hydroxy-1-(2-furylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxylate. Etherification with EtI, cleavage of the furylmethyl group, and amination with BuNH2 gave I (R = Et, R1 = R2 = R4 = R5 = H, R3 = Bu). Alternatively 5-aminoisoxazole was subjected to the malonic ester reaction and cyclized to Et-4-hydroxyisoxazolo[3,4-b]pyridine-5-carboxylate, which was etherified, aminated, and the isoxazole ring cleaved to give Et 4-gutylamino-2-chloro-5-ethoxycarbonyl-pyridine-3-carboxaldehyde. Cyclization with hydrazine gave I (R = Et, R1 = R2 = R4 = R5 = H, R3 = Bu).

IT **41094-77-3P 41094-78-4P 41094-80-8P**
41094-81-9P 41094-82-0P 41094-93-3P
41094-96-6P 41150-30-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

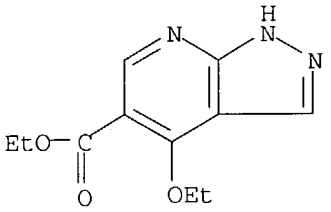
RN 41094-77-3 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)-, ethyl ester (9CI) (CA INDEX NAME)

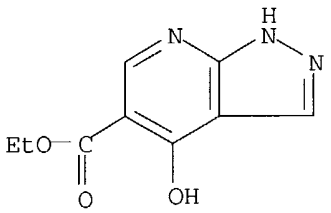


RN 41094-78-4 CAPLUS

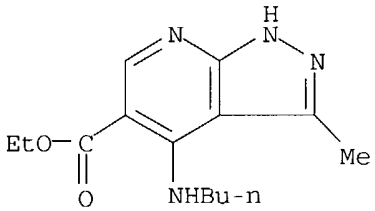
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(diethylamino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 41094-96-6 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

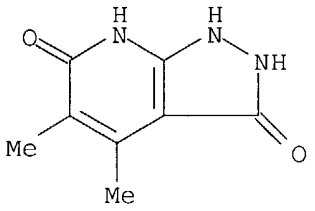


RN 41150-30-5 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 4-(butylamino)-3-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 66 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:466493 CAPLUS
DOCUMENT NUMBER: 73:66493
TITLE: Azoles. LXIX. Condensation of 3-amino-5-pyrazolone with several β-dicarbonyl compounds
AUTHOR(S): Imbach, Jean L.; Jacquier, Robert; Vidal, Jean L.
CORPORATE SOURCE: Lab. Syn. Etude Physicochim. Heterocycles Azotes, Fac. Sci., Montpellier, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1970), (5), 1929-5
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB 2-Hydroxypyrazolo 1,5-a%pyrimidines (I) are prepared from β-dicarbonyl compds. and 5-amino-3-pyrazolone in the presence of acid or base. I (R = Me, R1 = H, R2 = OH) is prepared in a neutral reaction mixture, but 3,6-dihydroxy-4-methylpyrazolo 3,4-b%pyridine is formed in the presence of HCl. The pyrazolone is treated with (MeO)2CHCH2CH(OMe)2-HOAc to give II (R = R1 = H).
IT **28491-66-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 28491-66-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-3,6-diol, 4,5-dimethyl- (8CI) (CA INDEX NAME)



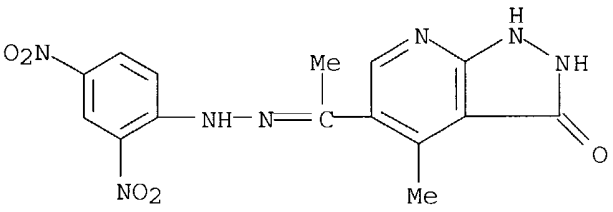
L4 ANSWER 67 OF 67 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1958:25500 CAPLUS
DOCUMENT NUMBER: 52:25500
ORIGINAL REFERENCE NO.: 52:4606e-i,4607a-i,4608a-c
TITLE: Guanazole and pyrazolidine series
AUTHOR(S): Papini, Pierre; Checchi, Silvio; Ridi, Mario
CORPORATE SOURCE: Univ. Florence
SOURCE: Gazzetta Chimica Italiana (1957), 87, 931-48
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. C.A. 50, 966i. A study was made of the constitutions of condensation products of ketone acid esters, diketones and the corresponding ethoxymethylene derivs. with a series of guanazoles and pyrazolidines. AcC(:CHOEt)CO2Et (1 mole) and 1 mole 1-phenylguanazole, PhN.NH.C(:NR).NH.C: NR' (I, R = R' = H), heated 1 hr. at 100° and the product extracted with alc. gave I[R = R' = HC:C(Ac)CO2Et] (Ia), m. 153-5° (alc.). Similarly, molar equivs. of I and NCC(:CHOEt)CO2Et yielded I[R = H, R' = HC: C(CN) CO2Et] (Ib), m. 188-90° (dilute alc.), converted by hydrolysis with Na2CO3 to I. In the same manner, condensation of 1 mole I with 2 moles Ac2C:CHOEt gave I(R = H, R' = HC: CAc2) (Ic), m. 220° (dioxane). The same mixture heated at 160° yielded I(R = R' = HC:CAc2) (Id), m. 197-200°, converted by hydrolysis with 1 molar equivalent of Na2CO3 to Ic and, by use of excess Na2CO3, to I. Ia (0.5 g.) refluxed 1 hr. with 2 moles NaOH in dilute alc. and the solution evaporated, the residue taken up in H2O and precipitated with HCl gave 6-acetyl-2-imino-7-oxo-1-phenyl-s-triazolidino-[2,3a]-1a,7-dihydropyrimidine, m.307-10° (alc.). Condensation of molar equivs. of guanazole (II) and EtO2CCH2CH2COCH2COMe, EtO2CCH2COCO2Et, EtO2CCH(Me)COCO2Et, and EtO2CCH2C(:CHOEt)Ph by refluxing 1 hr. in AcOH gave: HN:C.NH.C(:NH).N.N. CO.CR:CR' III(R = Me, R' = CH2CO2Et) (IIIa), m. 300° (alc.); III(R = H, R' = CO2Et) (IIIb), m. 337° (H2O) [2 moles EtO2CCH2COCO2Et at 20° gave an addition product, m. 197° (MeOH)]; III(R = Me, R' = CO2Et) (IIIc), m. 329° (HCO2H); and III (R = Bz, R' = H) (IIId), m. 183-5° (dilute AcOH). Heating molar equivs. of II and NCCH2CO2Et 1 hr. at 150°, taking up the product in H2O and decolorizing with C gave 7-imino-5-oxopyrazolidino[1,2-a]guanazole monohydrate (IIIe), m. above 360° (H2O). Condensation of molar equivs. of II and MeC(:CHOEt)CH2Ac by heating at 100° gave NH.C(:NH).N:C.N.CR:CR'.CR'':N (IV, R = H, R' = Ac, R'' = Me), m. 293-5° (dioxane). Similarly 1 g. II and 3 g. AcCH2COMe heated at 130° yielded IV(R = Me, R' = H, R'' = Me) (IVa), m. above 360° (HCONMe2). With BzCH2COMe, condensation of guanazole gave products: IV(R = Me, R' = H, R'' = Ph) (IVb) or IV(R = Ph, R' = H, R'' = Me) (IVc). I and 4-phenylguanazole with AcCH2COMe and BzCH2COMe did not react. Molar equivs. of II and MeCOCH2CH2COMe heated 1 hr. at 160°

varying exptl. conditions were unsuccessful.

IT **110176-49-3**, 3H-Pyrazolo[3,4-b]pyridin-3-one, 5-acetyl-1,2-dihydro-4-methyl-, (2,4-dinitrophenyl)hydrazone **114204-72-7**, 3H-Pyrazolo[3,4-b]pyridin-3-one, 5-acetyl-1,2-dihydro-4-methyl- **114354-09-5**, 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 2,3-dihydro-4-methyl-3-oxo-, ethyl ester
(preparation of)

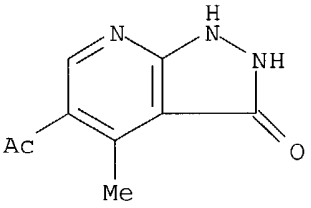
RN 110176-49-3 CAPLUS

CN 3H-Pyrazolo[3,4-b]pyridin-3-one, 5-acetyl-1,2-dihydro-4-methyl-, (2,4-dinitrophenyl)hydrazone (6CI) (CA INDEX NAME)



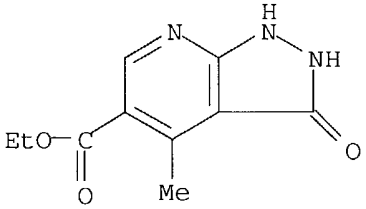
RN 114204-72-7 CAPLUS

CN 3H-Pyrazolo[3,4-b]pyridin-3-one, 5-acetyl-1,2-dihydro-4-methyl- (6CI) (CA INDEX NAME)



RN 114354-09-5 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxylic acid, 2,3-dihydro-4-methyl-3-oxo-, ethyl ester (6CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 14:29:52 ON 13 SEP 2004)

FILE 'REGISTRY' ENTERED AT 14:30:05 ON 13 SEP 2004

L1 STRUCTURE UPLOADED

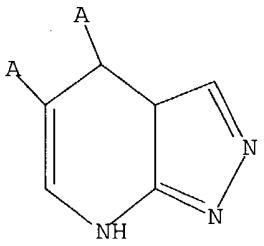
L2 1 S L1

L3 208 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:31:28 ON 13 SEP 2004

L4 67 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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